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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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         JAN 16
                 CAS patent coverage enhanced to include exemplified
                 prophetic substances
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         JAN 28
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NEWS 8 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
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NEWS 14 MAR 31
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                 IPC display formats
NEWS 15 MAR 31
                 CAS REGISTRY enhanced with additional experimental
NEWS 16 MAR 31
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                 applications updated
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NEWS 18 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 20 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
                 predefined hit display formats
NEWS 21 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 22 APR 28 IMSRESEARCH reloaded with enhancements
NEWS 23 MAY 30 INPAFAMDB now available on STN for patent family
                 searching
NEWS 24 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology
                 sequence search option
NEWS 25
         JUN 06
                 EPFULL enhanced with 260,000 English abstracts
NEWS 26
         JUN 06
                 KOREAPAT updated with 41,000 documents
NEWS 27
         JUN 13
                 USPATFULL and USPAT2 updated with 11-character
                 patent numbers for U.S. applications
NEWS 28
         JUN 19
                 CAS REGISTRY includes selected substances from
                 web-based collections
NEWS 29 JUN 25
                 CA/CAplus and USPAT databases updated with IPC
                 reclassification data
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NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008. NEWS HOURS STN Operating Hours Plus Help Desk Availability

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FILE 'HOME' ENTERED AT 18:44:37 ON 26 JUN 2008

=> fil reg

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 0.21
 0.21

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STRUCTURE FILE UPDATES: 25 JUN 2008 HIGHEST RN 1030702-50-1 DICTIONARY FILE UPDATES: 25 JUN 2008 HIGHEST RN 1030702-50-1

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

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http://www.cas.org/support/stngen/stndoc/properties.html

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G1:N,CH

chain nodes :

Match level: 1:Atom 2:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:Atom

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

G1 N, CH

Structure attributes must be viewed using STN Express query preparation.

2 ANSWERS

=> s 11 sss sam

SAMPLE SEARCH INITIATED 18:45:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 33549 TO ITERATE

6.0% PROCESSED 2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**
PROJECTED ITERATIONS: 660029 TO 681931
PROJECTED ANSWERS: 323 TO 1017

L2 2 SEA SSS SAM L1

=> d scan

- L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2,3-Quinoxalinedione, 6-[amino(2-iodophenyl)methyl]-1,4-dihydro-
- MF C15 H12 I N3 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-[(3,4-dichlorophenyl)[4-[(2-methoxyethyl)amino]-1-piperidinyl]methyl]-3-ethyl-
- MF C26 H31 C12 N3 O2

MeO-CH2-CH2-NH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s 11 sss full FULL SEARCH INITIATED 18:45:50 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 678156 TO ITERATE

100.0% PROCESSED 678156 ITERATIONS SEARCH TIME: 00.00.06 390 ANSWERS

L3 390 SEA SSS FUL L1

=> d scan

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2-Quinoxalinecarboxylic acid, 7-benzoyl-3,4-dihydro-3-oxo-, ethyl ester MF C18 H14 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-(isocyanatophenylmethyl)-3-methyl-
- MF C18 H14 N2 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN Benzoic acid, 4-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)hydroxymethyl]-, ethyl ester
- MF C20 H19 N O4

$$\begin{array}{c} \bullet \\ \text{EtO-C} \\ \bullet \\ \text{OH} \\ \bullet \\ \text{N} \end{array} \begin{array}{c} \bullet \\ \bullet \\ \text{N} \\ \bullet \\ \text{Me} \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-[(2,4-difluorophenyl)[4-[(2-methoxyethyl)amino]-1-
- piperidinyl]methyl]-3-ethyl-
- MF C26 H31 F2 N3 O2

MeO-CH2-CH2-NH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

T.3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

TN 2(1H)-Quinolinone, 3-ethyl-6-[[4-[(2-methoxyethyl)amino]-1-piperidinyl][3-

(trifluoromethoxy)phenyl]methyl]-

C27 H32 F3 N3 O3 ME

 $MeO-CH_2-CH_2-NH$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2(1H)-Quinoxalinone, 7-[2-(4-chloropheny1)-2-(1H-imidazol-1-y1)ethy1]-3ethyl-

MF C21 H19 C1 N4 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-[(R)-1H-1,2,4-triazol-1-y1[4-
- (trifluoromethyl)phenyl]methyl]-, hydrobromide (1:1)

ME C19 H13 F3 N4 O . Br H

Absolute stereochemistry.

• HBr

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-[1H-1,2,4-triazol-1-yl[3-
- (trifluoromethyl)phenyl]methyl]-, (S)- (9CI)
- MF C19 H13 F3 N4 O

Absolute stereochemistry. Rotation (+).

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinoxalinone, 1-amino-6-(1H-imidazol-1-ylphenylmethyl)-3-methyl-
- MF C19 H17 N5 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2,3-Quinoxalinedione, 6-[amino(4-methylphenyl)methyl]-1,4-dihydro-
- MF C16 H15 N3 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN INDEX NAME NOT YET ASSIGNED
- MF C21 H15 N3 O7

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-y1)[1-[2-(4-methoxypheny1)ethy1]-4-piperidinylidene]methy1]-3-ethy1-

MF C34 H36 N2 O4

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 3-methyl-6-[1-phenyl-3-(1-piperidinyl)propyl]-
- MF C24 H28 N2 O

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- .3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-y1)[[1-(phenylmethyl)-3-piperidinyl]amino]methyl]-3-ethyl-

MF C32 H35 N3 O3

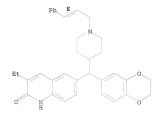
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L3 390 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-y1)[1-[(2E)-3-phenyl-2-propen-1-y1]-4-piperidiny1]methy1]-3-ethy1-
- MF C34 H36 N2 O3

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):fil cap 'FIL CAP' IS NOT VALID HERE

To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "O", or "END". HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 179.74 179.95

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FILE COVERS 1907 - 26 Jun 2008 VOL 148 ISS 26 FILE LAST UPDATED: 25 Jun 2008 (20080625/ED)

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http://www.cas.org/legal/infopolicy.html

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(FILE 'HOME' ENTERED AT 18:44:37 ON 26 JUN 2008)

FILE 'REGISTRY' ENTERED AT 18:44:44 ON 26 JUN 2008 L1 STRUCTURE UPLOADED

L1 STRUCTURE UPLOADED
L2 2 S L1 SSS SAM

L3 390 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:47:06 ON 26 JUN 2008

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37 L3 25085366 PY<2005

L4 28 L3 AND (PY<2005)

=> d 1-28 ibib abs hitstr

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:430796 CAPLUS

DOCUMENT NUMBER: 141:7139

TITLE: Preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated

with angiogenesis

INVENTOR(S): Ladouceur, Gaetan H.; Bear, Brian; Bi, Cheng; Brittelli, David R.; Burke, Michael J.; Chen, Gang;

Cook, James; Dumas, Jacques; Sibley, Robert; Turner,

Michael R.

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004043950 Al 20040527 WO 2003-US36003 20031110 <---

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             IN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:
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                                                                  P
                                                                     20030630
                                             WO 2003-US36003
                                                                  W
                                                                    20031110
                         MARPAT 141:7139
OTHER SOURCE(S):
```

AB The invention relates to title compds. I [wherein Ar = 6-membered aromatic ring containing 0-2 N atoms; R1 and R2 = independently H, halo, CF3, acyl, piperidinyl, piperazinyl, morpholinyl, or (un)substituted alkyl, alkoxy, amino, pyrrolidinyl, Ph, etc.; R3 = H, alkyl, OH, NO2, NH2, alkylamino, alkoxyamino, or (un) substituted benzovlamino; R4 = H, OH, halo, CN, acvl, sulfamoyl, trialkylsiloxy, tetrazolyl, thienyl, pyrrolyl, pyrimidinyl, oxazolyl, furanyl, or (un)substituted alkyl, alkenyl, alkynyl, alkoxy, amino, oxadiazolyl, Ph, pyridyl(oxy), carbamoyl; R11 and R12 = independently H, F, or Cl with the proviso that when one of R11 and R12 = F or Cl, the other must be H; and pharmaceutically acceptable salts and esters thereof]. The invention also relates to the use of I and their pharmaceutical compns. for treating hyperproliferative disorders and diseases associated with angiogenesis (no data). Examples include representative syntheses for compds. of the invention, pharmaceutical compns. comprising them, and tumor model assays (no specific data given). For instance, N-Boc-indole was coupled with di-Me oxalate using t-BuLi to give tert-Bu 2-[methoxy(oxo)acety1]-1H-indole-1-carboxylate (72%). Cyclization of the dione with 1,2-phenylenediamine in AcOH afforded the quinoxalinone II (77%).

694531-90-3P 694531-93-6P 694531-94-7P

694532-04-2P 694532-29-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiproliferative and angiogenesis inhibitor; preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with angiogenesis)

RN 694531-84-5 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[3-amino-5-[[(3S)-3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-1H-indol-2-yl]-6-benzoyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 694531-85-6 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[3-amino-5-[[4-(2-methoxyethy1)-1-piperaziny1]carbony1]-1H-indol-2-y1]-6-benzoy1- (CA INDEX NAME)

RN 694531-86-7 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[3-amino-5-(1-piperidinylcarbonyl)-1H-indol-2-yl]-6benzoyl- (CA INDEX NAME)

RN 694531-90-3 CAPLUS

CN 1H-Indole-5-carboxamide, 3-amino-2-(6-benzoyl-3,4-dihydro-3-oxo-2-quinoxalinyl)-N-(2-methoxyethyl)-N-methyl- (CA INDEX NAME)

RN 694531-93-6 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[3-amino-5-(1-pyrrolidinylcarbonyl)-1H-indol-2-yl]-6-benzoyl- (CA INDEX NAME)

RN 694531-94-7 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[3-amino-5-(1-pyrrolidinylcarbonyl)-1H-indol-2-yl]-7-benzoyl- (CA INDEX NAME)

RN 694532-04-2 CAPLUS

CN 1H-Indole-5-carboxylic acid, 3-amino-2-(7-benzoyl-3,4-dihydro-3-oxo-2-quinoxalinyl)- (CA INDEX NAME)

RN 694532-29-1 CAPLUS

N 2(1H)-Quinoxalinone, 3-[3-amino-5-[[(3S)-3-(dimethylamino)-1pyrrolidinyl]carbonyl]-1H-indo1-2-yl]-7-benzoyl- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:796538 CAPLUS

DOCUMENT NUMBER: 139:323440

TITLE:

Preparation of radiolabeled guinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomography.

INVENTOR(S): Lesage, Anne Simone Josephine; Bischoff, Francois Paul; Janssen, Cornelus Gerardus Maria; Lavreysen,

Hilde

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 148 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PAT | ENT: | NO. | | | | IND DATE | | | | APPL | ICAT | ION I | | DATE | | | | | |
|-----|-------|--------------------------|--------------------------|--------------------------|--------------------------|----------------------------|--------------------------|--------------------------|--------------------------------|-------------------|---------------------------------|-------------------|-------------------|-------------------|-------------------|-------------------|-------------------|--|--|
| | | | | | A2 | A2 20031009
A3 20040304 | | | | WO 2 | 003- | EP32 | | 20030326 < | | | | | |
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| JP | 2005 | | | | | | | | | JP 2 | 003- | 5798 | 82 | | | | | | |
| NZ | 5354 | 38 | | | A | | 2006 | 0831 | | NZ 2 | 003- | 5354 | 38 | | 20030326 | | | | |
| | 2004 | | | | | | 2005 | 0401 | | IN 2 | 004- | DN26 | 31 | | 20040908 | | | | |
| | 2006 | | | | | | | 0420 | | | | | | 20040924 | | | | | |
| MX | 2004 | PA09 | 435 | | A | | 2005 | 0125 | | MX 2 | 004- | PA94 | 35 | 20040928 | | | | | |
| ZA | | | | | A | | 2005 | 1011 | ZA 2004-7820 | | | | | | 20040928 | | | | |

NO 2004004635 PRIORITY APPLN. INFO.: A 20041027

NO 2004-4635 EP 2002-76254 WO 2003-EP3240 20041027 <--A 20020329 W 20030326

OTHER SOURCE(S):

MARPAT 139:323440

- Radiolabeled title compds. [I, II; X = O, S, C(R6)2, NR7; Y = O, S; R1 = AB (substituted) alkyl, cycloalkyl, cycloalkylalkyl, thienyl, quinolinyl, etc.; R2 = H, halo, cyano, alkyl, amino, heterocyclyl, etc.; R3, R4 = H, halo, OH, cyano, alkyl, alkoxy, etc.; R2R3 = (CH2)3-6, Z4CH2CH2CH2, Z4CH2CH2, etc.; Z4 = O, S, SO2, NR11; R11 = H, alkyl, PhCH2, alkoxycarbonyl; R3R4 = (CH2)4, CH:CHCH:CH; R5 = H, cycloalkyl, piperidinyl, oxothienyl, tetrahydrothienyl, aralkyl, alkoxyalkyl, etc.; R6 = H, aryl, alkyl, aminoalkyl; R7 = amino, OH], were prepared Most preferred are radiolabeled compds. in which the radioactive isotope is selected from 3H, 11C and 18F. The invention also relates to their use in a diagnostic method, in particular for marking and identifying a mGluR1 receptor in biol. material, as well as to their use for imaging an organ, in particular using positron emission tomog. (PET). Thus, title compound (III) was prepared by tritiation of the corresponding bromide in THF using tritium gas and Pd/C catalyst. The purified product showed specific activity of
 - IT 409344-47-4P 409344-48-5P 409344-56-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of radiolabeled quinolines and quinolinenes as metabotropic glutamate receptor mGluRl antagonists for use in positron emission tomoc.)

- RN 409344-47-4 CAPLUS
- CN 2(1H)-Quinolinone, 3-ethyl-6-(2-phenylacetyl)- (CA INDEX NAME)

RN

RN 409344-56-5 CAPLUS

2(1H)-Quinolinone, 3-ethyl-6-(1-oxo-3-phenylpropyl)- (CA INDEX NAME) CN

ANSWER 3 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:235032 CAPLUS

DOCUMENT NUMBER: 139:143344

TITLE: Synthesis and SAR of novel di- and trisubstituted

1,4-dihydroguinoxaline-2,3-diones related to licostinel (Acea 1021) as NMDA/glycine site

antagonists

Zhou, Zhang-Lin; Kher, Sunil M.; Cai, Sui Xiong; AUTHOR(S):

Whittemore, Edward R.; Espitia, Stephen A.; Hawkinson, Jon E.; Tran, Minhtam; Woodward, Richard M.; Weber,

Eckard; Keana, John F. W.

CORPORATE SOURCE: Department of Chemistry, University of Oregon, Eugene,

OR, 97403, USA

SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(8), 1769-1780

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:143344

AB A series of novel di- and trisubstituted 1,4-dihydroquinoxaline-2,3-diones (OXs) related to licostinel (Acea 1021) was synthesized and evaluated as antagonists for the glycine site of the N-methyl-d-aspartate (NMDA) receptor. The in vitro potency of these antagonists was determined by displacement of the glycine site radioligand [3H]-5,7-dichlorokynurenic acid ([3H]DCKA) in rat brain cortical membranes. Structure-activity relationship studies indicate that a cyano group is a good replacement for the nitro group in the 5-position of licostinel while 5-carboxy, 5-ester, 5-ketone and 5-amide derivs, showed reduced potency, 5,6-Cyclized analogs of licostinel also showed significantly reduced potency. Among the trisubstituted QXs investigated, 5-cyano-6,7-dichloro QX and 5-cyano-7-chloro-6-Me QX are the most potent with IC50 values of 32 nM and 26 nM, resp.

573692-50-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and structure-activity relationship of novel di- and trisubstituted 1,4-dihydroquinoxaline-2,3-diones related to licostinel (Acea 1021) as NMDA/glycine site antagonists)

RN 573692-50-9 CAPLUS CN 2.3-Ouinoxalinedion

2,3-Quinoxalinedione, 5-benzoyl-7-chloro-1,4-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:574925 CAPLUS

DOCUMENT NUMBER: 137:140442

TITLE: Preparation of 1,5-diaryl-7-heterocyclyl(alkyl)-2quinolinones as p38 protein kinase inhibitors

INVENTOR(S):

Doherty, James B.; Stelmach, John E.; Chen, Meng-Hsin;
Liu, Luping; Hunt, Julianne A.; Ruzek, Rowena D.;
Goulet, Joung L.; Wisnoski, David D.; Natarajan,
Swaminathan Ravi; Rupprecht, Kathleen M.; Bao,

Jianming; Miao, Shouwu; Hong, Xingfang

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 440 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PRI

| | | | | | | KIND DATE | | | | | | | | DATE | | | | | | |
|-----|------|-------|------|------|-----|-----------|-----|------|------|-----|------|-------|------|------|------------|-----|------|-----|---|--|
| | | | | | | | - | | | | | | | | | | | | | |
| | | | | | | | | | | | WO 2 | 2001- | US48 | 676 | 20011214 < | | | | | |
| | WO | 2002 | 0586 | 95 | | A9 | | 2003 | 0912 | | | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | | |
| | | | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FΙ, | GB, | GD, | GE, | GH, | | |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KR, | KZ, | LC, | LK, | LR, | LS, | | |
| | | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, | PL, | | |
| | | | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | | |
| | | | UG, | US, | UZ, | VN, | YU, | ZA, | ZM, | ZW | | | | | | | | | | |
| | | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | | |
| | | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | CH, | CY, | DE, | DK, | ES, | FI, | FR, | GB, | | |
| | | | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | | |
| | | | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | | | | | | |
| | CA | 2431 | 904 | | | A1 | | 2002 | 0801 | | CA 2 | 2001- | 2431 | 904 | | 2 | 0011 | 214 | < | |
| | AU | 2002 | 2466 | 77 | | A1 | | 2002 | 0806 | | AU 2 | 2002- | 2466 | 77 | 20011214 < | | | | | |
| | ΑU | 2002 | 2466 | 77 | | B2 | | 2006 | 1116 | | | | | | | | | | | |
| | EP | 1345 | 603 | | | A1 | | 2003 | 0924 | | EP 2 | 2001- | 9942 | 60 | | 2 | 0011 | 214 | < | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | | | |
| | JP | 2004 | 5218 | 92 | | T | | 2004 | 0722 | | JP 2 | 2002- | 5590 | 29 | | 2 | 0011 | 214 | < | |
| | US | 2003 | 0092 | 712 | | A1 | | 2003 | 0515 | | US 2 | 2001- | 2323 | 1 | | 2 | 0011 | 217 | < | |
| | US | 6809 | 199 | | | B2 | | 2004 | 1026 | | | | | | | | | | | |
| IOF | RITY | Y APP | LN. | INFO | . : | | | | | | US 2 | 2000- | 2568 | 22P | | P 2 | 0001 | 220 | | |
| | | | | | | | | | | | WO 2 | 2001- | US48 | 676 | | W 2 | 0011 | 214 | | |
| | | | | | | | | | | | | | | | | | | | | |

- Title compds. were prepared Thus, 2,6-dibromo-4-methoxytoluene was AB converted in 5 steps to arylquinolinone I (R1 = Br, R2 = OMe) which was condensed with 2,4-F2C6H3B(OH)2 and the O-demethylated product converted in 4 steps to I (R1 = C6H3F2-2,4, R2 = 4-piperidiny1). Data for biol. activity of title compds. were given.
- TТ 444664-57-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of 1,5-diaryl-7-heterocyclyl(alkyl)-2-quinolinones as p38 protein kinase inhibitors)
- RN 444664-57-7 CAPLUS
- 2(1H)-Quinolinone, 5-(2-chloro-4-fluorophenyl)-1-(2,6-difluorophenyl)-7-CN (triphenylmethyl) - (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

INVENTOR(S):

2002:275968 CAPLUS 136:309857 Preparation of quinolines and quinolinones as

metabotropic glutamate receptor antagonists Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg. SOURCE:

PCT Int. Appl., 114 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | TENT : | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION I | NO. | | DATE | | | | |
|--|---|-------|------|-----|-------------|-----|------|------|-----|------|------|-------|-----|-----|------------|------|-----|---|--|
| | | | | | A1 20020411 | | | | | | | | | | 20010925 < | | | | |
| | W: | AE, | AG, | AL. | AM. | AT. | AU, | AZ. | BA, | BB, | BG, | BR. | BY. | BZ. | CA, | CH, | CN, | | |
| | | | | | | | DK, | | | | | | | | | | | | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | | |
| | | LV. | MA. | MD, | MG. | MK. | MN. | MW. | MX. | MZ. | NO. | NZ, | PH, | PL, | | | | | |
| | | | | | | | SG, | | | | | | | | | | | | |
| | | US, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | | | | | | |
| | RW: GH, GM, KE, | | | | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | | |
| | DE, DK, ES, | | | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | | | |
| | | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| CA | 2421 | 782 | | | A1 | | 2002 | 0411 | | CA 2 | 001- | 2421 | 782 | | 2 | 0010 | 925 | < | |
| AU | 2001 | 0938 | 47 | | A | | 2002 | 0415 | | AU 2 | 001- | 9384 | 7 | | 2 | 0010 | 925 | < | |
| BR | 2001 | 0142 | 53 | | A | | 2003 | 0701 | | BR 2 | 001- | 1425 | 3 | | 2 | 0010 | 925 | < | |
| EP | 2421
2001
2001
1332 | 133 | | | A1 | | 2003 | 0806 | | EP 2 | 001- | 9742 | 98 | | 2 | 0010 | 925 | < | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | | | |
| HU | IE, SI, LT, 120033002167 12004510764 1524945 1200300126 1703403 12001293847 | | | | A2 | | 2003 | 1028 | | HU 2 | 003- | 2167 | | | 2 | 0010 | 925 | < | |
| JP | 2004 | 5107 | 64 | | T | | 2004 | 0408 | | JP 2 | 002- | 5324 | 23 | | 2 | 0010 | 925 | < | |
| NZ | 5249 | 45 | | | A | | 2005 | 0128 | | NZ 2 | 001- | 5249 | 45 | | 2 | 0010 | 925 | | |
| EE | 2003 | 0012 | 6 | | A | | 2005 | 0415 | | EE 2 | 003- | 126 | | | 2 | 0010 | 925 | | |
| CN | 1703 | 403 | | | A | | 2005 | 1130 | | CN 2 | 001- | 8167 | 17 | | 2 | 0010 | 925 | | |
| AU | 2001 | 2938 | 47 | | B2 | | 2007 | 0524 | | AU 2 | 001- | 2938 | 47 | | 2 | 0010 | 925 | | |
| KR | 8189 | 65 | | | В1 | | 2008 | 0404 | | KR 2 | 003- | 7020 | 14 | | 2 | 0030 | 211 | | |
| HR | 8189
2003 | 0002 | 29 | | A1 | | 2003 | 0630 | | HR 2 | 003- | 229 | | | 2 | 0030 | 324 | < | |
| IN | 2003 | MN00: | 328 | | A | | 2005 | 0211 | | IN 2 | 003- | MN32 | 8 | | 2 | 0030 | 324 | | |
| BG | 1076 | 72 | | | A | | 2004 | 0130 | | BG 2 | 003- | 1076 | 72 | | 2 | 0030 | 326 | < | |
| ZA | 2003 | 0025 | 15 | | A | | 2004 | 0630 | | ZA 2 | 003- | 2515 | | | 2 | 0030 | 331 | < | |
| ИО | 2003 | 0014 | 74 | | A | | 2003 | 0505 | | NO 2 | 003- | 1474 | | | 2 | 0030 | 401 | < | |
| NO | 3250 | 79 | | | В1 | | 2008 | 0128 | | | | | | | | | | | |
| RR 818965
HR 2003000229
IN 2003M000328
BG 107672
ZA 2003002515
NO 2003001474
NO 325079
MX 2003PA02907
US 20040082592
US 7115630 | | | | | A | | 2003 | 0624 | | MX 2 | 003- | PA29 | 07 | | 2 | 0030 | 401 | < | |
| US | 2004 | 0082 | 592 | | A1 | | 2004 | 0429 | | JS 2 | 003- | 3819 | 87 | | 2 | 0030 | 814 | < | |
| US | 7115 | 630 | | | B2 | | 2006 | 1003 | | | | | | | _ | | | | |
| | 2005 | | | | A1 | | 2005 | 0922 | | JS 2 | 005- | 1336 | 78 | | 2 | 0050 | 520 | | |
| ORIT: | Y APP | LN. | INFO | . : | | | | | | | | 2034 | | | | | | | |
| | | | | | | | | | | | | EP11 | | | | | | | |
| | | | | | | | | | | JS 2 | 003- | 3819 | B./ | | A3 2 | 0030 | 814 | | |

OTHER SOURCE(S): MARPAT 136:309857

GI

- AB The title compds. [I or II; X = 0, C(R6)2; (wherein R6 = H, aryl, alkyl, etc.); R1 = alkyl, aryl, thienyl, etc.; R2 = H, halo, CN, etc.; R3, R4 = H, alkyl; or R2 and R3 may be taken together to form (CH2)3, (CH2)4, CH:CH:CH:CH, etc.; or R3 and R4 may be taken together to form CH:CHCH:CH, (CH2)4; R5 = H, cycloalkyl, piperidinyl, etc.; Y = 0, S; or Y and R5 may be taken together to form CH:NN, N:NN, N:CH; useful for treating or preventing glutmate-induced diseases of the central nervous system, were prepared Thus, reacting cis-III [R = C1] with SnMe4 in the presence of Pg(PFh3)4 in PhMe afforded 17% cis-III [R = M6] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett liqation.
- IT 409344-47-4P 409344-48-5P 409344-56-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 - (Uses)
 (preparation of quinolines and quinolinones as metabotropic glutamate
 receptor antagonists)
- RN 409344-47-4 CAPLUS
- CN 2(1H)-Quinolinone, 3-ethyl-6-(2-phenylacetyl)- (CA INDEX NAME)

- RN 409344-48-5 CAPLUS
- CN 2(1H)-Quinolinone, 3-ethyl-6-[2-(2-methoxyphenyl)acetyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:222670 CAPLUS

DOCUMENT NUMBER: 137:241668

TITLE: Phosphonate quinoxalinedione AMPA antagonists for

therapy of stroke and trauma
AUTHOR(S): Ottow, Eckhard; Huth, Andreas; Kruger, Martin;

Schneider, Herbert H.: Neuhaus, Roland: McDonald,

Fiona; Lofberg, Boel; Turski, Lechoslaw

CORPORATE SOURCE: Research Laboratories of Schering AG, Berlin, D-13342,
Germany

SOURCE: Biomedical and Health Research (2001),

SOURCE: Blomedical and Health Research (2001),
45(Excitatory Amino Acids: Ten Years Later), 329-344

CODEN: BIHREN; ISSN: 0929-6743

PUBLISHER: IOS Press DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:241668

AB Glutamate antagonists derived from the quinoxalinedione scaffold are drug

candidates for neuroprotection in stroke and trauma. Quinoxalinedione derives such as 2,3-dihydroxy-6-nitro-7-sulfamoylbenzo(f)quinoxaline and 6-(1H-imidazol-1-yl)-7-nitro-2,3-(1H,4H)-quinoxalinedione failed clin. trials because of insoly, and resulting nephrotoxicity. Introduction of phosphonate group into the quinoxalinedione skeleton improves solubility and leaves potency for the α-amino-3-hydroxy-5-methyl-4-isoxazolepropionate (AMPA) receptor unchanged. Phosphonate quinoxalinedione derivs. ZKZ00775 and ZKZ02000 protect rodent brain against ischemic and traumatic brain injury. No major deleterious effects

on motor coordination, cardiovascular, or respiratory systems are detected in doses required for neuroprotection. No psychotomimetic and no neurotoxic side effects in the brain are observed after treatment with phosphonate quinoxalinediones.

191740-32-6P, ZK202000

RI: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phosphonate quinoxalinedione AMPA antagonists for therapy of stroke and trauma)

RN 191740-32-6 CAPLUS

TT

CN Phosphonic acid, [[3,4-dihydro-2,3-dioxo-7-(2-phenylethyl)-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

IT 191740-18-8

RL: RCT (Reactant); RACT (Reactant or reagent) (phosphonate quinoxalinedione AMPA antagonists for therapy of stroke and trauma)

RN 191740-18-8 CAPLUS

CN Phosphonic acid, [[3,4-dihydro-2,3-dioxo-7-(2-phenylethyl)-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:482884 CAPLUS

DOCUMENT NUMBER: 135:239238

TITLE: A novel quinoline alkaloid possessing a 7-benzyl group

from the centipede, Scolopendra subspinipes
AUTHOR(S): Noda, Naoki; Yashiki, Yuji; Nakatani, Takafumi;

Miyahara, Kazumoto; Du, Xiao-Ming

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Setsunan

University, Osaka, 573-0101, Japan

Chemical & Pharmaceutical Bulletin (2001), 49(7), 930-931

CODEN: CPBTAL; ISSN: 0009-2363

Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English
AB The novel quinoline alkaloie

SOURCE:

PUBLISHER:

AB The novel quinoline alkaloid scolopendrine was isolated from the centipede, Scolopendra subspinipes mutilans L. Koch. The structure was determined to be 2-hydroxy-7-[(4-hydroxy-3-methoxyphenyl)methyl]-3-methoxy-8-quinolyl sulfate on the basis of high-resolution electron-spray ionization mass spectroscopy and two-dimensional NMR spectral data. Unlike quinoline alkaloids so far reported, scolopendrine is unique in having a 7-benzyl moiety in the quinoline ring.

IT 360550-09-0, Scolopendrine

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); RACT (Reactant or reagent)

(quinoline alkaloid from Scolopendra subspinipes)

RN 360550-09-0 CAPLUS

CN 2(1H)-Quinolinone, 7-[(4-hydroxy-3-methoxyphenyl)methyl]-3-methoxy-8-(sulfooxy)- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:476381 CAPLUS

DOCUMENT NUMBER: 135:100164

TITLE: $(R)-1-\{(2-0xo-1,2-dihydroquinolin-6-y1)[3-$

(trifluoromethyl)phenyl]methyl}-1H-1,2,4-triazol-4-ium bromide

AUTHOR(S): Peeters, Oswald M.; Blaton, Norbert M.; De Ranter,

Camiel J.

CORPORATE SOURCE: Faculteit Farmaceutische Wetenschappen, Laboratorium

voor Analytische Chemie en Medicinale Fysicochemie, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.

SOURCE: Acta Crystallographica, Section E: Structure Reports

Online (2001), E57(7), o655-o656

CODEN: ACSEBH; ISSN: 1600-5368

URL: http://journals.iucr.org/e/issues/2001/07/00/ya60

33/ya6033.pdf

PUBLISHER: International Union of Crystallography

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

AB The metabolism of all-trans-retinoic acid is mediated by a cytochrome dependent P 450 system. The title compound, C19H14F3N40-Pxr-(R111214), is an inhibitor of P 450. The three planar ring systems, viz. the triazolyl, Ph and quinolinone groups, are arranged in a propeller-like fashion around the central CH group. The dihedral angles formed by the triazolyl/phenyl, triazolyl/quinolinone and phenyl/quinolinone planes are 55.8(1), 79.85(9) and 78.49(9)°, resp. The N-H..O H bonds,

involving the triazolium N-H group and the quinolinone O atom, link the cations into infinite chains stretching along the c axis of the crystal.

Crystallog. data are given. IT 349553-99-7

RL: PRP (Properties) (crystal structure of)

RN 349553-99-7 CAPLUS

CN 2(1H)-Quinolinone, 6-[(R)-1H-1,2,4-triazol-1-y1[4-

(trifluoromethyl)phenyl]methyl]-, hydrobromide (1:1) (CA INDEX NAME)

Absolute stereochemistry.

HBr

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:223060 CAPLUS

DOCUMENT NUMBER: 135:5590

TITLE: Some nucleophilic reactions with 6-benzoyl-2,3-dichloroquinoxaline: synthesis of tetrazolo[1,5-

a]quinoxline, 2-methylidene-1,3-dithiolo[4,5-b]quinoxalines, quinoxalino[2,3-b]quinoxalines and

pyrazolo[1',5':1,2]imidazolo[4,5-b]-quinoxalines

AUTHOR(S): El-Gaby, M. S. A.; El-Sharief, A. M. Sh; Ammar, Y. A.; Mohamed, Y. A.; El-Salam, A. A. Abd

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Al-Azhar University at Assiut, Assiut, 71524, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (2001), 40B(3), 195-200

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:5590

AB The starting material 6-benzoyl-2,3-dichloroquinoxaline is subjected to some nucleophilic reagents to study the effect of the benzoyl group on the reactivity of the two chlorine atoms.

T 143702-68-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactions of 6-benzoyl-2,3-dichloroquinoxaline with nucleophiles)

RN 143702-68-5 CAPLUS

CN 2,3-Quinoxalinedione, 6-benzoyl-1,4-dihydro- (CA INDEX NAME)

14 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:868071 CAPLUS

DOCUMENT NUMBER: 135:55887

TITLE: Phosphonate guinoxalinedione AMPA antagonists

AUTHOR(S): Turski, Lechoslaw; Schneider, Herbert H.; Neuhaus, Roland; McDonald, Fiona; Jones, Graham H.; Lofberg, Boel; Schweinfurth, Hermann; Huth, Andreas; Kruger,

Martin: Ottow, Eckhard

CORPORATE SOURCE: Research Laboratories of Schering AG, Berlin, D-13342, Germany

SOURCE:

Restorative Neurology and Neuroscience (2000), 17(1), 45-59

CODEN: RNNEEL; ISSN: 0922-6028

PUBLISHER: IOS Press DOCUMENT TYPE: Journal

LANGUAGE: English

In the Western world, over 350,000 deaths and \$30 billion in medical costs are attributed annually to stroke. Head and spinal cord trauma cause an estimated 250,000 deaths annually and result in medical costs of \$15 billion. Although stroke and head/spinal cord trauma are leading causes of disability and death in humans, no adequate neuroprotective treatment is available. Glutamate antagonists derived from the quinoxalinedione scaffold are as drug candidates for neuroprotection in stroke and trauma. Quinoxalinedione derivs. such as 2,3-dihydroxy-6-nitro-7sulfamoylbenzo(f)quinoxaline and 6-(1H-imidazol-1-yl)-7-nitro-2,3-(1H,4H)quinoxalinedione failed clin. trials because of insoly. and resulting nephrotoxicity. Introduction of a phosphonate group into the quinoxalinedione skeleton improves solubility and leaves potency for the a-amino-3-hydroxy-5-methyl-4-isoxazolepropionate (AMPA) receptor unchanged. Phosphonate quinoxalinedione derivs. ZK202000 and ZK200775 protected rodent brain against sequelae of permanent occlusion of the middle cerebral artery and head trauma. No major deleterious effects on motor coordination, cardiovascular, or respiratory systems were detected in doses required for neuroprotection. No psychotomimetic and no neurotoxic side effects, typical for N-methyl-D-aspartate antagonists, were observed following treatment with phosphonate quinoxalinediones.

191740-32-6P, ZK 202000 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(phosphonate quinoxalinedione AMPA antagonists as neuroprotectants in stroke and trauma)

191740-32-6 CAPLUS RN

CN

Phosphonic acid, [[3,4-dihydro-2,3-dioxo-7-(2-phenylethyl)-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

CH2-PO3H2

REFERENCE COUNT:

AUTHOR(S):

THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

69 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN 2000:527827 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

134:162992

TITLE: Synthesis and antimicrobial activities of some novel

quinoxalinone derivatives

Ali, M. M.; Ismail, M. M. F.; El-Gaby, M. S. A.; Zahran, M. A.; Ammar, Y. A.

CORPORATE SOURCE:

Dep. of Chemistry, Faculty of Science, Al-Azhar Univ., Cairo, 11884, Egypt

SOURCE: Molecules [online computer file] (2000),

5(6), 864-873

CODEN: MOLEFW; ISSN: 1420-3049

URL: http://www.mdpi.org/molecules/papers/50600864.pdf PUBLISHER . Molecular Diversity Preservation International

DOCUMENT TYPE: Journal; (online computer file)

English

LANGUAGE: OTHER SOURCE(S): CASREACT 134:162992

Condensation of 4-benzoyl-1,2-phenylenediamine with sodium pyruvate in AB acetic acid furnished two products, which were identified as 6-benzoyl-(I) and 7-benzoyl-3-methyl-2(1H)-quinoxalinone (II). Fusion of I with aromatic aldehydes furnished the styryl derivs. Alkylation of I and II with di-Me sulfate or Et chloroacetate produced the N-alkyl derivs. Hydrazinolysis of one ester derivative with hydrazine hydrate afforded the hydrazide derivative, which underwent condensation with aldehydes to give the corresponding hydrazone derivs. In addition, chlorination of I with thionyl chloride afforded the 2-chloro derivative, which was subjected to reaction with sodium azide and n-butylamine to yield the corresponding tetrazolo (III) and n-butylamino (IV) derivs., resp. The structures of the compds. prepared were confirmed by anal. and spectral data. Also, some of the synthesized compds. were screened for antimicrobial activity.

325469-51-0P 325469-52-1P 325469-58-7P 325469-60-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and antimicrobial activities of quinoxalinone derivs.)

RN 325469-51-0 CAPLUS

2(1H) -Ouinoxalinone, 6-benzovl-3-methyl- (CA INDEX NAME)

RN 325469-52-1 CAPLUS

CN 2(1H)-Quinoxalinone, 7-benzoyl-3-methyl- (CA INDEX NAME)

RN 325469-58-7 CAPLUS

CN 1(2H)-Quinoxalineacetic acid, 6-benzoyl-3-methyl-2-oxo-, ethyl ester (CA INDEX NAME)

RN 325469-60-1 CAPLUS

CN 1(2H)-Quinoxalineacetic acid, 6-benzoyl-3-methyl-2-oxo-, hydrazide (CA INDEX NAME)

IT 325469-54-3P 325469-59-8P 325469-62-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial activities of quinoxalinone derivs.)

RN 325469-54-3 CAPLUS

CN 2(1H)-Quinoxalinone, 6-benzoy1-3-[(1E)-2-(4-methoxypheny1)etheny1]- (CA INDEX NAME)

Double bond geometry as shown.

RN 325469-59-8 CAPLUS

CN 1(2H)-Quinoxalineacetic acid, 7-benzoyl-3-methyl-2-oxo-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{CH}_2-\text{C}-\text{OBt} \\ \text{Ph}-\text{C} \\ \text{N} \\ \text{Me} \end{array}$$

RN 325469-62-3 CAPLUS

CN 1(2H)-Quinoxalineacetic acid, 6-benzoyl-3-methyl-2-oxo-, 2-[(4-methoxyphenyl)methylene]hydrazide (CA INDEX NAME)

PAGE 2-A

0

- IT 325469-53-2P 325469-55-4P 325469-56-5P 325469-57-6P 325469-61-2P
 - RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antimicrobial activities of quinoxalinone derivs.)
- RN 325469-53-2 CAPLUS
- CN 2(1H)-Quinoxalinone, 6-benzoyl-3-[(1E)-2-(4-chlorophenyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

- RN 325469-55-4 CAPLUS
- CN 2(1H)-Quinoxalinone, 6-benzoyl-3-[(1E)-2-(3,4,5-trimethoxyphenyl)ethenyl]-(CA INDEX NAME)

Double bond geometry as shown.

RN 325469-56-5 CAPLUS CN 2(1H)-Quinoxalinone, 6-benzoyl-1,3-dimethyl- (CA INDEX NAME)

RN 325469-57-6 CAPLUS CN 2(1H)-Quinoxalinone, 7-benzoyl-1,3-dimethyl- (CA INDEX NAME)

RN 325469-61-2 CAPLUS

CN 1(2H)-Quinoxalineacetic acid, 6-benzoyl-3-methyl-2-oxo-, 2-(phenylmethylene)hydrazide (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1998:672545 CAPLUS

13

DOCUMENT NUMBER: 129:275932

ORIGINAL REFERENCE NO.: 129:56265a,56268a
TITLE: Preparation of 3-oxadiazolylquinoxaline derivatives

having affinity to benzodiazepine receptor

INVENTOR(S): Ohno, Kazunori; Odai, Osamu; Furukawa, Kiyoshi; Oka,

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

AB

| PATEN' | I NO. | | | KIND DATE | | | | | APPL | ICAT | ION : | | DATE | | | | |
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| WO 98 | 42701 | A1 19981001 | | | | | WO 1 | 998- | JP82 | 7 | 19980227 < | | | | | | |
| W | : AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE, | |
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| | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | MW, | MX, | NO, | |
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| | UG, | US, | UZ, | VN, | YU, | ZW, | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | |
| R | W: GH, | GM, | KE, | LS, | MW, | SD, | SZ, | UG, | ZW, | AT, | BE, | CH, | DE, | DK, | ES, | FI, | |
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| | GA, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | | | | | | | | |
| JP 20 | 022413 | 79 | | A | | 2002 | 0828 | | JP 1 | 997- | 8764 | 6 | 19970321 < | | | | |
| AU 98 | 61179 | | | A | | 1998 | 1020 | | AU 1 | 998- | 6117 | 9 | 19980227 < | | | | |
| PRIORITY A | PPLN. | | | | | | JP 1 | 997- | 8764 | 6 | | A 19970321 | | | | | |
| | | | | | | | | | WO 1 | 998- | JP82 | 7 | | W 1 | 9980 | 227 | |
| OTHER SOUR | CE(S): | MARI | PAT | 129: | 2759 | 32 | | | | | | | | | | | |

wherein Het is oxadiazolyl; R1 is hydrogen, lower alkyl, trifluoromethyl, lower cycloalkyl, lower alkenyl, lower alkynyl, optionally substituted aryl, optionally substituted heteroaryl, or lower alkoxy, R2 is hydrogen, lower alkyl, trifluoromethyl, lower cycloalkyl, halogeno, hydroxy, lower alkoxy, cyano, nitro, acyl, optionally substituted benzoyl, amino, lower mono- or dialkylamino, lower alkoxycarbonylmethyloxy, lower mono- or dialkylaminocarbonylmethyloxy, or optionally substituted benzyloxy; and R3 is hydrogen, lower alkyl, lower cycloalkyl, halogeno, or lower alkoxy), which are useful as a medicine, in particular, which have a selective affinity for benzodiazepine receptors and are useful as a brain activator and a remedy for senile dementia and Alzheimer's disease. Thus, a solution of 1,2-dihydro-2-oxo-3-quinoxalinecarboxylic acid and N.N'-carbonyl diimidazole in DMF was heated with stirring for 3 h at 60°, followed by adding acetamidoxime, and the stirring was continued for another 1.5 h to give 52.6% the title compound (II; R1 = Me; R2 = H). The latter compound and I (R1 = Et, R2 = OMe) inhibited the binding of [3H]diazepam to synaptosome membrane fraction prepared from rat brain with IC50 of 11.5 and 1.41 nM, resp.

Novel 3-oxadiazolylquinoxaline derivs. represented by general formula (I;

TT 213743-73-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxadiazolylquinoxaline derivs. having affinity to benzodiazepine receptor as brain activators and remedies for senile dementia and Alzheimer's disease)

RN 213743-73-8 CAPLUS

2(1H)-Ouinoxalinone, 6-benzovl-3-(3-ethvl-1,2,4-oxadiazol-5-vl)- (CA CN INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ Ph & C & & \\ & & & \\ N & & \\$$

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1998:210752 CAPLUS

DOCUMENT NUMBER:

REFERENCE COUNT:

128:257445 ORIGINAL REFERENCE NO.: 128:50967a,50970a

TITLE: Preparation of indolylbenzoquinoxalinones and related compounds as protein kinase C inhibitors.

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS

INVENTOR(S): Bergstrand, Hakan; Karabelas, Kostas; Sjo, Peter Astra Aktiebolag (Publ), Swed.

PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 63 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | KIN | | DATE | | | | | DATE | | | | | | | | |
|----|------|-------|-----|-----|-----|-----|------|------|--------|-----------------|--------|------|------|------------|-----|-------|-----|---|--|--|
| | 9813 | | | | A1 | | | | | | 1997-: | | | 19970919 < | | | | | | |
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| | DW. | | | | | | CF | HC | F2 1/2 | 2.77 | DE | CH | DE | DIA | EC | T7 T | ED | | | |
| | KW: | | | | | | | | | | BE, | | | | | | | | | |
| | | | | | | | | | | SE, | BF, | Вυ, | CF, | CG, | CI, | CM, | GA, | | | |
| | | | | | | | | TG | | | | | | | | | | | | |
| IN | 1997 | DE 02 | 638 | | A | | 2005 | 0311 | | | 1997-1 | | | | | | | | | |
| TW | 4720 | 45 | | | В | | 2002 | 0111 | | | 1997- | | | | | | | | | |
| | 9708 | | | | | | | | | | 1997- | | | | | | | | | |
| | | | | | | | | | | CA 1997-2265854 | | | | | | | | | | |
| | | | | | | | | | | AU 1 | 1997- | 4477 | 5 | | 1 | 9970 | 919 | < | | |
| | 7162 | | | | | | | | | | | | | | | | | | | |
| EP | 9295 | 51 | | | A1 | | 1999 | 0721 | | EP 1 | 1997- | 9432 | 59 | | 1 | 9970 | 919 | < | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | | |
| | | IE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | | | | |
| NZ | 3345 | 31 | | | A | | 2000 | 0929 | | NZ 1 | 1997- | 3345 | 31 | | 13 | 9970 | 919 | < | | |
| US | 6271 | 231 | | | В1 | | 2001 | 0807 | | US I | 1997- | 9812 | 66 | | 15 | 9971: | 218 | < | | |
| US | 2001 | 0025 | 043 | | A1 | | 2001 | 0927 | | US 2 | 2001- | 8652 | 31 | | 2 | 0010 | 525 | < | | |

PRIORITY APPLN. INFO: SE 1996-3505 A 19960925 SE 1997-2747 A 19970718 W0 1997-SE1582 W 19970919

W0 1997-SE1582 W 19970919 US 1997-981266 A3 19971218 OTHER SOURCE(S): MARPAT 128:257445

AB Title compds. [I, A, X, Y, Z = C, N; ≥2 of A, X, Y, Z = C; may be substituted and/or annulated; excluding 3-(1H-indol-3-yl)-1H-quinoxalin-2-one, and 3-(1,2-diphenyl-1H-indol-3-yl)-1H-quinoxalin-2-one, and protein kinase C inhibitors (no data). Thus, 1,2-phenylenediamine was stirred overnight with [1-[3-(1,3-dioxoisoindol-2-yl)]propyl]-1H-indol-3-yl]oxoacetic acid 2,5-dioxopyrrolidin-1-yl ester (preparation given) in THF to give 3-[3-(3-oxo-3,4-dihydroquinoxalin-2-yl)indol-1-yl]propylammonium acetate. The latter was stirred with MeNH2 in THF/H2O to give 3-[3-(3-oxo-3,4-dihydroquinoxalin-2-yl)indol-1-yl]propylammonium acetate.

2-15 (3-36-77 205377-77-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolylbenzoquinoxalinones and related compds. as protein kinase C inhibitors)

RN 205377-65-7 CAPLUS

CN 2(1H)-Quinoxalinone, 3-[1-(3-aminopropyl)-1H-indol-3-yl]-1-methyl-6-(phenylmethyl)-, monoacetate (9CI) (CA INDEX NAME)

CM

CRN 205377-64-6 CMF C27 H26 N4 O

CM :

CRN 64-19-7

CN

RN 205377-77-1 CAPLUS

2(1H)-Quinoxalinone, 3-[1-(3-aminopropyl)-1H-indol-3-yl]-6-(phenylmethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 205377-76-0 CMF C26 H24 N4 O

CM

CRN 76-05-1 CMF C2 H F3 O2



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1997:447997 CAPLUS

DOCUMENT NUMBER: 127:81611

ORIGINAL REFERENCE NO.: 127:15657a
TITLE: Preparation

TITLE: Preparation of novel quinoxalinedione derivatives as medicaments

INVENTOR(S): Huth, Andreas; Krueger, Martin; Ottow, Eckhard; Seidelmann, Dieter; Neuhaus, Roland; Schneider,

Herbert, Turski, Lechoslaw PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

| | 19545251 | | | A1 | | 1997 | 0620 | | | | | | | | 9951 | 124 | _ |
|----------|-----------|------|-----|-------------|-------|------|-------|----------------|------|-------|------------|------|-----|------------|-------|-----|------|
| | | | | | | | | | | | | | | | | | |
| | 2238023 | | | A1 | | 1997 | 0529 | | CA 1 | 996- | 2238 | 023 | | 1 | .9961 | 115 | < |
| WO | 9719066 | | | A1 19970529 | | | | | WO 1 | 996- | DE22 | 27 | | 19961115 < | | | < |
| | W: AL, | AM, | AU, | AZ, | BB, | BG, | BR, | BY, | CA, | CN, | CZ, | EE, | GE, | HU, | IL, | IS, | |
| | JP, | KE, | KG, | KP, | KR, | KZ, | LK, | LR, | LS, | LT, | LV, | MD, | MG, | MK, | MN, | MW. | |
| | MX. | NO. | NZ. | PL. | RO. | RU, | SD. | SG. | SI. | SK. | T.T. | TM. | TR. | TT. | UA. | UG. | |
| | | UZ. | | | , | , | , | , | , | , | , | , | , | , | , | , | |
| | RW: AT, | | | DE | DV | E.C. | ET. | FD | CP | CD | TE | TT | TIT | MC | NIT | DT | C.F. |
| | | DE, | Cn, | | | | | | | | | | | | | | |
| | 9718674 | | | | | | | | | | 19961115 < | | | | | | |
| AU | 720083 | | | B2 | | 2000 | 0525 | | | | | | | | | | |
| EP | 876357 | | | A1 | | 1998 | 1111 | | EP 1 | 996- | 9460 | 00 | | 3 | .9961 | 115 | < |
| | R: AT, | BE. | CH, | DE, | DK. | ES, | FR. | GB, | GR. | IT. | LI. | LU. | NL, | SE. | MC, | PT. | |
| | | | | LV, | | | | | | | | | | | | | |
| CN | 1202891 | | | A | | 1998 | 1223 | | CN 1 | 996- | 1985 | 29 | | 1 | 9961 | 115 | < |
| | 20005004 | 71 | | T 20000118 | | | | JP 1997-519292 | | | | | | 19961115 < | | | |
| | | / 1 | | | | | | HU 1999-2041 | | | | | | 19961115 < | | | |
| | 9902041 | | | A2 | | | | | HU I | 999- | 2041 | | | J | .9961 | 115 | < |
| HU | 9902041 | | | A3 | | 2000 | 0728 | | | | | | | | | | |
| ZA | 9609832 | | | A | | 1997 | 0617 | | ZA 1 | 996- | 9832 | | | 3 | .9961 | 122 | < |
| NO | 9802349 | | | A | | 1998 | 0701 | | NO 1 | 998- | 2349 | | | 1 | 9980 | 522 | < |
| PRIORITY | APPLN. | TNFO | . • | | | | | | DE 1 | 995- | 1954 | 5251 | | A 1 | 9951 | 124 | |
| | | | | | | | | | | 996- | | | | | 9961 | | |
| OTHER CO | OURCE(S): | | | MADE | ייייי | 127: | 0161 | | 1 | ,,,,, | <i></i> | | | | | 110 | |
| | ORCE(S): | | | PIAK | MI | 12/: | 0101. | T | | | | | | | | | |
| CT | | | | | | | | - | | | | | | | | | |

AB The preparation of title compds. I (RI = phosphonyl, sulfonyl, or carboxy substituted organo, cyanoorgano, tetrazolylorgano, etc.; RS = substituted amino, thionyl, carbonyl, etc.; R6, R7 = same or different H, halo, NO2, cyano, substituted amino, carbonyl, alkoxy, hetaryl, etc.), useful as medicaments for central nerves system, is described. Thus, [(6-trifluoromethyl-7-[N-oxy-(N-isopropylformylimino)]-1,2,3,4-tetrahydroquinoxalin-2,3-dion)-1-yl]methanephosphonic acid was prepared in several steps starting from aminomethanephosphonic acid.

II 191740-18-8P 191740-19-9P 191740-2-2P

191740-21-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel quinoxalinedione derivs. as medicaments)

RN 191740-18-8 CAPLUS CN Phosphonic acid, [[3

Phosphonic acid, [[3,4-dihydro-2,3-dioxo-7-(2-phenylethyl)-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

- RN 191740-19-9 CAPLUS
- CN Phosphonic acid, [[7-[2-(4-chlorophenyl)ethyl]-3,4-dihydro-2,3-dioxo-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OEt} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{F}_3 \\ \text{C} \\ \text{H} \end{array} \begin{array}{c} \text{OEt} \\ \text{O} \\ \text{O} \end{array}$$

- RN 191740-20-2 CAPLUS
- CN Phosphonic acid, [[7-[2-(4-fluorophenyl)ethyl]-3,4-dihydro-2,3-dioxo-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

- RN 191740-21-3 CAPLUS
- CN Phosphonic acid, [[3,4-dihydro-7-[2-(4-methoxyphenyl)ethyl]-2,3-dioxo-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

IT 191740-32-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of novel quinoxalinedione derivs. as medicaments)

RN 191740-32-6 CAPLUS

CN Phosphonic acid, [[3,4-dihydro-2,3-dioxo-7-(2-phenylethyl)-6-(trifluoromethyl)-1(2H)-quinoxalinyl]methyl]- (9CI) (CA INDEX NAME)

Ph-CH2-CH2

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:527663 CAPLUS DOCUMENT NUMBER: 125:167994

ORIGINAL REFERENCE NO.: 125:31485a,31488a

TITLE: Preparation of 6-[triazolyl(3-

trifluoromethylphenyl)methyl]-2-quinolin(thi)ones for treatment of keratinization disorders

INVENTOR(S): Venet, Marc Gaston; Mabire, Dominique Jean-Pierre;

Sanz, Gerard Charles

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg. SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| | | | | | | APPLICATION NO. | | | | | | | | | | | | | |
|----|-------------------------|--------------------------------|--------------------------|--------------------------|--------------------------------|--------------------------|---------------------------|----------------------------------|--------------------------|----------------------------|--------------------------------------|----|---------------------------------|--------------------------------|--------------------------|--------------------------|----------------------------------|---------------------------------|----|
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TI,
ES, | GE,
MK,
UA,
FR, | HU,
MN,
UG,
GB, | 9951
IS,
MW,
US,
GR, | JP,
JP,
MX,
UZ,
IE, | VN |
| | | NE, | SN, | TD, | TG | | | | | | | | | | | | | | |
| IN | 1995C | A01 | 685 | | A | | 2005 | 0304 | | IN | 1995 | -C | A16 | 35 | | 1 | 9951 | 220 | |
| CA | 1995C
22072 | 68 | | | A1 | | 1996 | 0704 | | CA | 1995 | -2 | 2072 | 268 | | 1 | 9951 | 221 | < |
| AU | U 9644362 | | A | | 1996 | 0719 | | AU | 1996 | -4 | 4362 | 2 | | 1 | 9951 | 221 | < | | |
| AU | 69819 | 9 | | | B2 | | 1998 | 1029 | | | | | | | | | | | |
| EP | 80052 | 4 | | | A1 | | 1997 | 1015 | | ΕP | 1995 | -9 | 4323 | 37 | | 13 | 9951 | 221 | < |
| EP | 80052 | 4 | | | B1 | | 2001 | 1031 | | | | | | | | | | | |
| | R: . | | | | | | | | | | | | | | | | | | |
| CN | 11717
10856
10511 | 89 | | | A | | 1998 | 0128 | | CN | 1995 | -1 | 9716 | 52 | | 1 | 9951 | 221 | < |
| CN | 10856 | 68 | | | В | | 2002 | 0529 | | | | | | | | | | | |
| JP | 10511 | 654 | | | T | | 1998 | 1110 | | JΡ | 1995 | -5 | 2022 | 22 | | 1 | 9951 | 221 | < |
| | 95105 | | | | | | 1999 | | | | 1995 | | | | | | 9951 | | |
| | 21654 | | | | | | 2001 | 0420 | | | 1997 | | | | | | 9951 | 221 | < |
| | 20792 | | | | | | 2001 | 1115 | | AΤ | 1995 | -9 | 4323 | 37 | | 1 | 9951 | 221 | < |
| | 80052 | | | | | | 2002 | | | | | | | | | | 9951 | | |
| | 21668 | | | | | | 2002 | 0501 | | | | | | | | | 9951 | 221 | < |
| PL | 18295 | 6 | | | B1 | | 2002 | 0531 | | PL | 1995 | -3 | 210 | 41 | | 1 | 9951 | 221 | < |
| ZA | 95109 | 89 | | | A | | 1997 | 0627 | | z_{A} | 1995 | -1 | 0989 | 9 | | 1: | 9951 | 227 | < |

| II. 116577 | A | 20000229 | TT. | 1995-116577 | | 19951227 < |
|------------------------|--------|------------|-----|-------------|---|------------|
| US 5922734 | A | 19990713 | | 1997-860239 | | 19970616 < |
| FI 9702794 | A | 19970627 | | 1997-2794 | | 19970627 < |
| NO 9703029 | A | 19970627 | | 1997-3029 | | 19970627 < |
| NO 311220 | B1 | 20011029 | 110 | 1331 0003 | | 13310001 |
| PRIORITY APPLN. INFO.: | | | EP | 1994-203773 | A | 19941228 |
| | | | WO | 1995-EP5173 | W | 19951221 |
| OTHER SOURCE(S): | MARPAT | 125:167994 | | | | |

AB Title compds. [I; R = 3-(F3C)C6H4][II; R1 = H, NH2, alkv1; R2.R3 = H, halo, alkyl; X = O or S; 1 of Z1, Z2 = N and the other = CH] were prepared Thus, (R)-II (R1-R3 = H, X = O, Z1 = N, Z2 = CH) gave complete suppression of estradiol undecylate-induced vaginal keratinization in 50% of ovariectomized rats at 1.25mg/kg orally.

180421-65-2P 180421-66-3P 180421-67-4P 180421-68-5P 180421-69-6P 180421-70-9P 180421-71-0P 180421-72-1P 180421-73-2P 180421-74-3P 180421-75-4P 180421-76-5P

180421-77-6P 180421-78-7P 180421-79-8P 180421-80-1P 180421-81-2P 180421-82-3P

180421-83-4P 180421-85-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-[triazoly1(3-trifluoromethylphenyl)methyl]-2quinolin(thi)ones for treatment of keratinization disorders)

RN 180421-65-2 CAPLUS CN

2(1H)-Quinolinone, 6-[1H-1,2,4-triazol-1-v1[3-

Ι

(trifluoromethyl)phenyl]methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 180421-66-3 CAPLUS CN 2(1H)-Quinolinone, 6-[1H-1,2,4-triazol-1-y1[3-

(trifluoromethyl)phenyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 180421-67-4 CAPLUS

CN 2(1H)-Quinolinone, 6-[1H-1,2,4-triazol-1-y1[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 180421-68-5 CAPLUS

CN 2(1H)-Quinolinone, 6-[1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

RN 180421-69-6 CAPLUS

CN 2(1H)-Quinolinone, 6-[fluoro-1H-1,2,4-triazol-1-y1[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 180421-70-9 CAPLUS

CN 2(1H)-Quinolinone, 6-[1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]propyl]- (CA INDEX NAME)

RN 180421-71-0 CAPLUS CN 2(1H)-Ouipolipope, 6-[2-methyl-

CN 2(1H)-Quinolinone, 6-[2-methyl-1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]propyl]- (CA INDEX NAME)

RN 180421-72-1 CAPLUS

CN 2(1H)-Quinolinone, 6-[1-(1H-1,2,4-triazol-1-y1)-1-[3-(trifluoromethyl)phenyl]pentyl]- (CA INDEX NAME)

RN 180421-73-2 CAPLUS

CN 2(1H)-Quinolinone, 8-methyl-6-[1H-1,2,4-triazol-1-yl[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 180421-74-3 CAPLUS

CN 2(1H)-Quinolinone, 5-chloro-6-[1H-1,2,4-triazol-1-y1[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 180421-75-4 CAPLUS
- CN 2(1H)-Quinolinone, 8-fluoro-6-[1H-1,2,4-triazol-1-y1[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 180421-76-5 CAPLUS
- CN 2(1H)-Quinolinone, 1-fluoro-6-[1-(1H-1,2,4-triazol-1-y1)-1-[3-(trifluoromethy1)pheny1]ethy1]- (CA INDEX NAME)

- RN 180421-77-6 CAPLUS
- CN 2(1H)-Quinolinone, 1-methyl-6-[1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

- RN 180421-78-7 CAPLUS
- CN 2(1H)-Quinolinone, 1-ethyl-6-[1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

RN 180421-79-8 CAPLUS

CN 2(1H)-Quinolinone, 1-methyl-6-[1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]propyl]- (CA INDEX NAME)

RN 180421-80-1 CAPLUS

CN 2(1H)-Quinolinone, 1-methyl-6-[1-(1H-1,2,4-triazol-1-y1)-1-[3-(trifluoromethyl)phenyl]butyl]- (CA INDEX NAME)

RN 180421-81-2 CAPLUS

CN 2(1H)-Quinolinone, 1-methyl-6-[1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]pentyl]- (CA INDEX NAME)

RN 180421-82-3 CAPLUS

CN 2(1H)-Quinolinone, 1-methyl-6-[3-methyl-1-(1H-1,2,4-triazol-1-yl)-1-[3-(trifluoromethyl)phenyl]butyl]- (CA INDEX NAME)

RN 180421-83-4 CAPLUS

CN 2(1H)-Quinolinone, 1-amino-6-[1H-1,2,4-triazol-1-yl[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 180421-85-6 CAPLUS

N 2(1H)-Quinolinone, 1-methyl-6-[1H-1,2,4-triazol-1-yl[3-(trifluoromethyl)phenyl]methyl]-, monohydrobromide, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HBr

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1994:217224 CAPLUS

ACCESSION NUMBER: 1994:217224 CAPLU DOCUMENT NUMBER: 120:217224

ORIGINAL REFERENCE NO.: 120:38557a,38560a

TITLE: Studies of 1-alkyl-2(1H)-pyridone derivatives. XXXV.

The Friedel-Crafts reaction of 1-methyl-2(1H)-pyridone homologs with benzoic acid derivatives

AUTHOR(S): Fujita, Reiko; Yasugahira, Hiroaki; Tomisawa, Hiroshi CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, 981, Japan SOURCE: Annual Report of the Tohoku College of Pharmacy (

1992), 39, 91-9 CODEN: TYKNAQ; ISSN: 0495-7342

DOCUMENT TYPE: Journal LANGUAGE: Japanese

OTHER SOURCE(S): CASREACT 120:217224

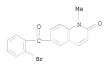
The Friedel-Crafts reaction of 1-methyl-2(1H)-quinolone with o-bromobenzoyl chloride (I) gave 6-(o-bromobenzoyl)-1-methyl-2(1H)quinolone in good vield. The reaction of 1,4-dimethyl-2(1H)-quinolone, 4-benzovl-1-methyl-2(1H)-quinolone, or 2,3-dimethyl-1(2H)-isoquinolone with BzCl or Bz20 gave regioselectively 6-benzoyl-1,4-dimethyl-2(1H)quinolone, 4,6-dibenzoyl-1-methyl-2(1H)-quinolone, or 4-benzoyl-2,3dimethyl-1(2H)-isoquinolone, resp. The reaction of 2,6,7-trimethyl-1(2H)isoquinolone with I gave 5-(o-bromobenzoy1)-2,6,7-trimethy1-1(2H)-

isoquinolone. 153888-51-8P, 6-(o-Bromobenzoyl)-1-methyl-2(1H)-quinolone

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 153888-51-8 CAPLUS

CN 2(1H)-Quinolinone, 6-(2-bromobenzoyl)-1-methyl- (CA INDEX NAME)



ANSWER 17 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:592207 CAPLUS DOCUMENT NUMBER: 117:192207

ORIGINAL REFERENCE NO.: 117:33223a,33226a

TITLE: Fluorine-19 NMR studies on the mechanism of riboflavin

synthase. Synthesis of 6-(trifluoromethyl)-7-oxo-8-(Dribityl)lumazine and 6-(trifluoromethyl)-7-methyl-8-(D-

ribitvl)lumazine

AUTHOR(S): Cushman, Mark; Patel, Hemantkumar H.; Scheuring,

Johannes: Bacher, Adelbert

Sch. Pharm. Pharm. Sci., Purdue Univ., West Lafayette, CORPORATE SOURCE: IN, 47907, USA

Journal of Organic Chemistry (1992), 57(21), SOURCE:

5630-43

CODEN: JOCEAH; ISSN: 0022-3263

Journal

LANGUAGE: English GI

DOCUMENT TYPE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title oxo-(D-ribityl)lumazine I was synthesized by reaction of Me trifluoropyruvate with 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)dione hydrochloride and utilized as a 19F NMR probe of the light riboflavin synthase of Bacillus subtillis. I was found to be an inhibitor of riboflavin synthase with an inhibition constant $KI = 55 \mu M$. The

enzyme-bound ligand gave rise to several broad 19F NMR signals which were shifted to low field. The bound ligand I could be displaced from the enzyme by the enzyme product, riboflavin (II), and the product analog, 5-nitroso-6-(ribitylamino)-2,4(1H,3H)-pyrimidinedione. Title methyl-(D-ribityl)lumazine III was synthesized by reaction of 5-amino-6-(D-ribitylamino)pyrimidine-2,4(1H,3H)-dione hydrochloride with 1,1,1-trifluorobutane-2,3-dione. Three mols. of III can be bound relatively tightly per mol of riboflavin synthase, i.e., one ligand mol. per protein subunit. A scheme for the catalytic cycle of riboflavin synthase is proposed.

143309-79-9P 143309-80-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 143309-79-9 CAPLUS

CN 2(1H)-Quinoxalinone, 6-benzoyl-3-(trifluoromethyl)- (CA INDEX NAME)

143309-80-2 CAPLUS

CN 2(1H)-Quinoxalinone, 7-benzoyl-3-(trifluoromethyl)- (CA INDEX NAME)

$$\begin{array}{c} 0 \\ Ph-C \\ \hline \\ N \\ \hline \\ N \\ CF_3 \\ \end{array}$$

CORPORATE SOURCE:

L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

1992:571381 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 117:171381

ORIGINAL REFERENCE NO.: 117:29633a,29636a

TITLE: Synthesis of pyrido[1',2':1,2]imidazo[4,5b]quinoxalines

AUTHOR(S):

Tanaka, Kivoshi; Takahashi, Hideki; Takimoto, Kozo; Sugita, Masahiko; Mitsuhashi, Keirvo

Fac. Eng., Seikei Univ., Musahino, 180, Japan

Journal of Heterocyclic Chemistry (1992),

29(4), 771-7 CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

CASREACT 117:171381 OTHER SOURCE(S):

GΙ

SOURCE:

AΒ Synthesis of title compds. I (R = H, 8-, 9-C1, 8-, 9-Bz, 8-, 9-NO2; R1 = H, 1-, 2-, 3-, 4-Me, 4-PhCH2O) by the facile cyclizations of 2,3-dichloroquinoxalines II with 2-aminopyridines III and of 2-amino-3-chloroquinoxalines IV (R # H) with various substituted pyridines is described.

ΙT 143702-68-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(chlorination of) RN 143702-68-5 CAPLUS

CN 2.3-Ouinoxalinedione, 6-benzovl-1,4-dihvdro- (CA INDEX NAME)

L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:612014 CAPLUS

DOCUMENT NUMBER: 113:212014

ORIGINAL REFERENCE NO.: 113:35835a,35838a

TITLE: Preparation of (1H-azol-1-vlmethyl)quinolines, -quinazolines, and -quinoxalines as drugs INVENTOR(S): Freyne, Eddy Jean Edgard; Venet, Marc Gaston;

Raeymaekers, Alfons Herman Margaretha; Sanz, Gerard Charles

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg. SOURCE: Eur. Pat. Appl., 106 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | TENT NO. | | | KINI |) | DATE | | API | PLICAT | CION | NO. | | DATE | |
|-----|----------|-----|-----|------|-----|--------|-------|-----|--------|-------|-----|----|----------|---|
| | | | | | - | | | | | | | | | |
| EP | 371564 | | | A2 | | 199006 | 06 | EP | 1989- | -2030 | 14 | | 19891128 | < |
| EP | 371564 | | | A3 | | 199105 | 29 | | | | | | | |
| EP | 371564 | | | В1 | | 199507 | 12 | | | | | | | |
| | R: AT, | BE, | CH, | DE, | ES, | FR, G | B, GF | , I | r, LI, | LU, | NL, | SE | | |
| US | 5028606 | | | A | | 199107 | 02 | US | 1989- | 4349 | 57 | | 19891113 | < |
| US | 5037829 | | | A | | 199108 | 06 | US | 1989- | 4351 | 20 | | 19891113 | < |
| CA | 2002864 | | | A1 | | 199005 | 29 | CA | 1989- | 2002 | 864 | | 19891114 | < |
| CA | 2002864 | | | C | | 199911 | 16 | | | | | | | |

| DK 8905994 | A | 19900530 | DK | 1989-5994 | | 19891128 | < |
|------------------------|----|----------|----|--------------|-----|----------|---|
| DK 172748 | B1 | 19990628 | | | | | |
| NO 8904734 | A | 19900530 | NO | 1989-4734 | | 19891128 | < |
| NO 174509 | В | 19940207 | | | | | |
| NO 174509 | C | 19940518 | | | | | |
| AU 8945646 | A | 19900607 | AU | 1989-45646 | | 19891128 | < |
| AU 620946 | B2 | 19920227 | | | | | |
| HU 52498 | A2 | 19900728 | HU | 1989-6220 | | 19891128 | < |
| HU 205106 | В | 19920330 | | | | | |
| ZA 8909076 | A | 19910731 | | 1989-9076 | | 19891128 | |
| SU 1780536 | A3 | 19921207 | SU | 1989-4742543 | | 19891128 | < |
| IL 92486 | A | 19930708 | IL | 1989-92486 | | 19891128 | < |
| ES 2088889 | Т3 | 19961001 | ES | 1989-203014 | | 19891128 | < |
| FI 101964 | В | 19980930 | FI | 1989-5687 | | 19891128 | < |
| FI 101964 | B1 | 19980930 | | | | | |
| CN 1042912 | A | 19900613 | CN | 1989-108925 | | 19891129 | < |
| CN 1033752 | В | 19970108 | | | | | |
| JP 02223579 | A | 19900905 | JP | 1989-307793 | | 19891129 | < |
| JP 2916181 | B2 | 19990705 | | | | | |
| US 5151421 | A | 19920929 | US | 1991-672298 | | 19910320 | < |
| US 5185346 | A | 19930209 | US | 1991-704746 | | 19910523 | < |
| US 5268380 | A | 19931207 | US | 1992-973871 | | 19921110 | < |
| US 5441954 | A | 19950815 | US | 1993-131817 | | 19931005 | < |
| CN 1106004 | A | 19950802 | CN | 1994-117801 | | 19941102 | < |
| CN 1036002 | В | 19971001 | | | | | |
| CN 1106005 | A | 19950802 | CN | 1994-117802 | | 19941102 | < |
| CN 1036003 | В | 19971001 | | | | | |
| US 5612354 | A | 19970318 | US | 1995-409551 | | 19950323 | < |
| PRIORITY APPLN. INFO.: | | | | 1988-27820 | A | 19881129 | |
| | | | GB | 1988-27821 | A | 19881129 | |
| | | | GB | 1988-27822 | A | 19881129 | |
| | | | US | 1989-434205 | B2 | 19891113 | |
| | | | | 1989-434957 | | 19891113 | |
| | | | | 1991-704746 | | 19910523 | |
| | | | | 1992-973871 | | 19921110 | |
| | | | | 1993-131817 | | 19931005 | |
| | | | | | -10 | | |

OTHER SOURCE(S):

MARPAT 113:212014

GI For diagram(s), see printed CA Issue.

AB The title compds. [I, R = H, alkyl; XI:X2 = CH:CH, CH:N, N:CH; Y = H, alkyl, cycloalkyl, alkenyl, alkynyl, (un) substituted aryl, aralkyl; Z = (un) substituted (oxo) quinoxlinyl, (oxo- or thioxo) quinoxalinyl, (oxo- or dioxo) quinoxalinyl) were prepared as retinoic acid metabolism inhibitors, aromatase inhibitors, etc. Thus, 3,4-dihydroquinolin-2(IH)-one was stirred 2 h at 70° with BzCl in DMF containing AlcI3 and the product reduced by NaBH4 to give hydroxymethylquinolinone II (R1 = Ph, R2 = OH).

II (R1 = Me, R2 = OH) was stirred overnight with SOC12 in THF and the product II (R1 = Me, R2 = Cl) stirred overnight at 60-70° with IH-imidazole in DMSO to give II (R1 = Me, R2 = imidazolo) which maintained plasma levels of i.v. administered all-trans-retinoic acid at ≥10 ng/ml in rats 2 h after oral administration of 40 mg/kg.

IT 12067-41-6P 130344-00-2P 130344-01-3P 130344-02-4P 130344-03-5P 130346-18-8P 130346-22-4P 130346-25-7P 130346-26-8P 130346-22-4P 130346-33-7P 130346-33-6P 130346-33-6P 130346-33-6P 130346-33-6P 130346-38-2P 130346-50-8P 130346-51-8P 130346-66-66-67 130346-50-8P 130346-66-68-8P 130346-70-2P 130346-70-

130347-24-9P 130347-25-0P 130347-26-1P 130347-27-2P 130347-28-3P 130347-29-4P

130347-30-7P 130347-31-8P 130347-33-0P 130347-35-2P 130347-37-4P 130347-38-5P 130347-39-6P 130347-40-9P 130347-41-0P 130347-42-1P 130347-44-3P 130347-45-4P

130347-42-1F 130347-44-3F 130347-45-4F 130347-46-5P 130347-47-6P 130347-48-7P 130347-62-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as retinoate metabolism and aromatase inhibitor)
RN 120067-41-6 CAPLUS

CN 2(1H)-Quinolinone, 6-(1H-imidazol-1-ylphenylmethyl)- (CA INDEX NAME)

RN 130344-00-2 CAPLUS

CN 2(1H)-Quinolinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130344-01-3 CAPLUS

CN 2(1H)-Quinolinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130344-02-4 CAPLUS

CN 2(1H)-Quinolinone, 6-[(3-fluorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130344-03-5 CAPLUS

CN 2(1H)-Quinolinone, 6-[(4-fluoropheny1)-1H-imidazol-1-ylmethy1]- (CA INDEX NAME)

RN 130346-18-8 CAPLUS

CN 2(1H)-Quinoxalinone, 6-(1H-imidazol-1-ylphenylmethyl)-3-methyl- (CA INDEX NAME)

RN 130346-22-4 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[1H-imidazol-1-y1[3-(trifluoromethyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 130346-25-7 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(2-fluorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-(CA INDEX NAME)

RN 130346-26-8 CAPLUS

 $\texttt{CN} \qquad 2\,(\texttt{1H})\,-\texttt{Quinoxalinone}, \ \ 6\,-\,[\,(3\,-\,\texttt{fluorophenyl})\,-\,\texttt{1H}-\texttt{imidazol}\,-\,1\,-\,\texttt{ylmethyl}]\,-\,3\,-\,\texttt{methyl}\,-\,3\,-\,\text{methyl}\,$

(CA INDEX NAME)

RN 130346-27-9 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-fluorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-(CA INDEX NAME)

RN 130346-30-4 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-(CA INDEX NAME)

RN 130346-32-6 CAPLUS

CN 2(1H)-Quinoxalinone, 1-butyl-6-(1H-imidazol-1-ylphenylmethyl)-3-methyl-(CA INDEX NAME)

130346-33-7 CAPLUS

RN

RN 130346-36-0 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-(CA INDEX NAME)

RN 130346-38-2 CAPLUS
CN 2(1H)-Quinoxalinone, 7-[(3-fluorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl(CA INDEX NAME)

RN 130346-40-6 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[(4-fluorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 130346-39-3 CMF C19 H15 F N4 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 130346-41-7 CAPLUS CN 2(1H)-Quinoxalinone, 1-amino-6-(1H-imidazol-1-ylphenylmethyl)-3-methyl-(CA INDEX NAME)

RN 130346-42-8 CAPLUS

RN 130346-50-8 CAPLUS

CN 2(1H)-Quinoxalinone, 7-(1H-imidazol-1-ylphenylmethyl)- (CA INDEX NAME)

RN 130346-51-9 CAPLUS

CN 2(1H)-Quinoxalinone, 6-(1H-imidazol-1-ylphenylmethyl)-3-phenyl- (CA INDEX NAME)

- RN 130346-66-6 CAPLUS
- CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-(CA INDEX NAME)

- RN 130346-67-7 CAPLUS
- CN 2(1H)-Quinoxalinone, 7-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-methyl-(CA INDEX NAME)

- RN 130346-68-8 CAPLUS
- CN 2(1H)-Quinoxalinone, 6-[(1H-imidazol-1-y1)(4-methoxypheny1)methy1]-3-methy1- (9CI) (CA INDEX NAME)

- RN 130346-69-9 CAPLUS
- CN 2(1H)-Quinoxalinone, 3-ethyl-6-(1H-imidazol-1-ylphenylmethyl)- (CA INDEX NAME)

RN 130346-70-2 CAPLUS CN 2(1H)-Quinoxalinone, 3-ethyl-7-(1H-imidazol-1-ylphenylmethyl)- (CA INDEX NAME)

RN 130346-74-6 CAPLUS
CN 2(1H)-Quinoxalinone, 7-[1H-imidazol-1-yl(4-methylphenyl)methyl]-3-methyl(CA INDEX NAME)

RN 130346-78-0 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[1H-imidazol-1-yl(4-methoxyphenyl)methyl]-3-methyl-(CA INDEX NAME)

RN 130347-21-6 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-phenyl-, 4-oxide (CA INDEX NAME)

RN 130347-22-7 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-phenyl-(CA INDEX NAME)

RN 130347-23-8 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[1H-imidazol-1-y1[4-(1-methylethyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 130347-24-9 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[1H-imidazol-1-y1[4-(1-methylethyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)

RN 130347-25-0 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-, 4-oxide (CA INDEX NAME)

RN 130347-26-1 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130347-27-2 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-(2-methylpropyl)- (CA INDEX NAME)

RN 130347-28-3 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-(2-methylpropyl)- (CA INDEX NAME)

RN 130347-29-4 CAPLUS

 ${\tt CN-2(1H)-Quinoxalinone,\ 7-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-propyl-1-ylmethyll]-3-propyl-1-ylm$

(CA INDEX NAME)

RN 130347-30-7 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-propyl-(CA INDEX NAME)

RN 130347-31-8 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-(1-methylethyl)- (CA INDEX NAME)

RN 130347-33-0 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130347-35-2 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-phenyl-, 4-oxide (CA INDEX NAME)

- RN 130347-37-4 CAPLUS
- CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-propyl-(CA INDEX NAME)

- RN 130347-38-5 CAPLUS
- CN 2(1H)-Quinoxalinone, 7-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-propyl-(CA INDEX NAME)

- RN 130347-39-6 CAPLUS
- CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-(1-methylpropyl)- (CA INDEX NAME)

RN 130347-40-9 CAPLUS

CN 2(1H)-Quinoxalinone, 7-[(4-chloropheny1)-1H-imidazol-1-ylmethy1]-3-(1-methylpropy1)- (CA INDEX NAME)

RN 130347-41-0 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-(1methylethyl)- (CA INDEX NAME)

RN 130347-42-1 CAPLUS CN 2(1H)-Quinoxalinone, 6-[(3-chlorophenyl)-1H-imidazol-1-ylmethyl]-3-phenyl-(CA INDEX NAME)

RN 130347-44-3 CAPLUS

CN 2(1H)-Quinoxalinone, 3-benzoyl-6-(1H-imidazol-1-ylphenylmethyl)-, 4-oxide (CA INDEX NAME)

RN 130347-45-4 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-fluorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130347-46-5 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(2-fluorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130347-47-6 CAPLUS

CN 2(1H)-Quinoxalinone, 6-[(3-fluorophenyl)-1H-imidazol-1-ylmethyl]- (CA INDEX NAME)

RN 130347-48-7 CAPLUS

CN 2(1H)-Quinoxalinone, 3-phenyl-6-(phenyl-1H-1,2,4-triazol-1-ylmethyl)- (CA INDEX NAME)

130347-62-5 CAPLUS

RN

CN

2(1H)-Quinoxalinone, 6-[(3,4-dichlorophenyl)-1H-imidazol-1-ylmethyl]-3-phenyl- (CA INDEX NAME)

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:552215 CAPLUS

DOCUMENT NUMBER: 113:152215 ORIGINAL REFERENCE NO.: 113:25867a.

ORIGINAL REFERENCE NO.: 113:25867a,25870a
TITLE: Studies on 1-alkvl

TITLE: Studies on 1-alkyl-2(1H)-pyridone derivatives. XXXII.

The Friedel-Crafts reaction of 1-alkyl-2(1H)-pyridone

derivatives with acid anhydride

AUTHOR(S): Fujita, Reiko; Tomisawa, Hiroshi
CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, 981

CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, 981, Japan SOURCE: Yakugaku Zasshi (1990), 110(6), 449-52

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal LANGUAGE: Japanese

OTHER SOURCE(S): CASREACT 113:152215

AB Reaction of N-benzyl- (I) and N-phenethyl-2(1H)-pyridone (II) with Bz20

(III) gave 5-benzoyl and 3,5-dibenzoyl compds. in good yields. Reactions of I and II with Ac20 gave only 5-acetyl compds. Reactions of dimethyl-2(lH)-pyridione bearing one Me group on the pyridone ring with III were carried out. Only 1,3-dimethyl-2(lH)-pyridone gave the 5-benzoyl-1,3-dimethyl compound; the others gave no benzoyl compound Reactions with Ac20 gave either a 5-acetyl compound or no acetyl compound Reactions of thiolactam compds. (thiopyridone, thioquinolone) with III

gave 5-benzoylpyridone and 6-benzoylquinone in poor yields, resp.

IT 53995-93-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 53995-93-0 CAPLUS CN 2(1H)-Ouinclinone.

2(1H)-Quinolinone, 6-benzoyl-1-methyl- (CA INDEX NAME)

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:407401 CAPLUS

DOCUMENT NUMBER: 111:7401

ORIGINAL REFERENCE NO.: 111:1422h,1423a

TITLE: Imidazole- or pyridine-containing carbostyrils as combined thromboxane synthetase and cyclic-AMP

phosphodiesterase inhibitors, their preparation, and

pharmaceuticals containing them

U.S., 20 pp.

INVENTOR(S): Walker, Keith A. M.; Bruno, John J.; Martinez, Gregory

R.

PATENT ASSIGNEE(\$): Syntex (U.S.A.), Inc., USA

SOURCE:

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE _____ US 4792561 19881220 US 1986-868845 19860529 <--US 1988-247134 19880921 <--US 4921862 Α 19900501 PRIORITY APPLN. INFO.: US 1986-868845 A3 19860529 CASREACT 111:7401; MARPAT 111:7401 OTHER SOURCE(S):

GI

AB Title compds. I [X = RICR2, cis- or trans-CR3:CR4; R1 = H when R2 = OH, or R1 = Ph, phenylalkyl when R2 = H, OH, Ph is optionally monosubstituted; or R1R2 = O, Cl-6 alkylidene, (substituted) benzylidene; R3 = H, Cl-6 alkyl; R4 = H; R3R4 = bond; n = O-3; R = 1-imidazolyl; dotted line = optional covalent bond] are prepared as thromboxane synthetase and cAMP phosphodiesterase inhibitors for treatment of disease characterized by elevated thromboxane levels or an imbalance of prostacyclin/thromboxane levels (no data). A mixture of CuI 11.6, (Ph3P)2PdC12 86, N-propargylimidazole (preparation given) 774 mg, and 6-bromo-3, 4-dihydrocarbostyril 1.5 g was stirred in 10mL pyridine and 2 mL triethylamine at 100° for 48 h under N. The reaction mixture was then treated with saturated aqueous K2CO3, extracted with 10% MeOH in CH2C12,

and

worked up to give 6-[3-(imidazol-1-yl)-1-propyn-1-yl]-3,4dihydrocarbostyril. The latter (502 mg) was stirred under H in the presence of 200 mg 10% Pd/C to give 6-[3-(imidazol-1-yl)propyl]-3,4dihydrocarbostyril (II). A tablet was formulated containing II 25, cornstarch 20, spray-dried lactose 153, and Mg stearate 2 mg.

120067-41-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cAMP phosphodiesterase and thromboxane synthetase inhibitors)

RN 120067-41-6 CAPLUS

CN 2(1H)-Quinolinone, 6-(1H-imidazol-1-ylphenylmethyl)- (CA INDEX NAME)

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:610869 CAPLUS DOCUMENT NUMBER: 109:210869 ORIGINAL REFERENCE NO.: 109:34879a,34882a

TITLE: Carbinolamine equivalents in the 8-

aminotetrahydroisoquinoline series
AUTHOR(S): Moehrle, Hans; Biegholdt, Martin

CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Duesseldorf, Duesseldorf,

4000/1, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Wein

OURCE: Archiv der Pharmazie (Weinheim, Germany) (1988), 321(5), 287-91

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal LANGUAGE: German

OTHER SOURCE(S): CASREACT 109:210869

GT

R

III

AB Hg(II)-EDTA dehydrogenations of the tetrahydroisoquinolines I (R = H, Ph) do not yield the expected pure carbinolamines, but the dimers II in an intermol. reaction. The acetylation of the dimers or of the iminium salts III generates the tricyclic primary products IV which undergo cleavage when the reaction time is prolonged.

ΙV

IT 117366-00-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 117366-00-4 CAPLUS

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RN 117366-00-4 CAPLUS CN Acetamide, N-[2-(1,2-dihydro-2-oxo-5-quinoliny1)-2-phenylethy1]-N-methyl-

L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1979:153494 CAPLUS

DOCUMENT NUMBER: 190:153494 CAPE DOCUMENT NUMBER: 90:153494 ORIGINAL REFERENCE NO.: 90:24415a,24418a

ORIGINAL REFERENCE NO.: 90:24415a, 24418a
TITLE: Fluorescent dyes
INVENTOR(S): Eckstein, Udo; Theidel, Hans

INVENIOR(S): ECKStein, Udo; Ineidel, Hans
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. DATE 19770707 <--DE 2730644 A1 19790125 DE 1977-2730644 EP 346 19790124 EP 1978-100253 19780628 <--A1 EP 346 В1 19800109 R: CH, DE, FR, GB JP 54017933 Α 19790209 JP 1978-81040 19780705 <--US 4184977 Α 19800122 US 1978-922186 19780705 <--PRIORITY APPLN. INFO.: DE 1977-2730644 19770707

AB Fluorescent quinoxalines of general structure I were prepared, where R and RI = H, halogen, alkuyl, alkenyl, OH, alkoxy, aryloxy, amino, or substituted amino, R2 = H or an aryl or heterocyclic group, and n = 0, 1, or 2. I are especially useful as fluorescent whiteners. Thus, condensation of 2-(4-formylphenyl)benzoxazole [27395-93-3] with 2,3-dimethoxy-6-(dimethoxyphosphonomethyl)quinoxaline [69722-49-2] in DMF to which NaOMe was added portionwise gave I [R = RI = MeO, n = 1, R2 = 2-benzoxazolyl (para position)] [69722-71-0], which showed a reddish blue fluorescence when dissolved in DMF and a fast, strong whitening effect in poly(ethylene terephthalate). Other I were similarly prepared

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and reaction with thionyl chloride)

RN 69722-55-0 CAPLUS

CN 2,3-Quinoxalinedione, 6-[2-[4-(2-benzoxazolyl)phenyl]ethenyl]-1,4-dihydro-(CA INDEX NAME)

L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:443155 CAPLUS DOCUMENT NUMBER: 83:43155

DOCUMENT NUMBER: 83:43155
ORIGINAL REFERENCE NO.: 83:6819a,6822a

TITLE: 1-Alkyl-2(1H)-pyridone derivatives. XXIV.

Friedel-Crafts reaction of 1-methyl-2(1H)-pyridone and

its derivatives with acid anhydride
AUTHOR(S): Tomisawa, Hiroshi; Fujita, Reiko; Hongo, Hiroshi;

Kato, Hideki

CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1975),

23(3), 592-6

CODEN: CPBTAL; ISSN: 0009-2363 DOCUMENT TYPE: Journal

LANGUAGE: English

AB The Friedel-Crafts reactions of 1-methyl-2(1H)-pyridone (I),

2-methyl-1(2H)-isoguinolone (III), and 1-methyl-2(1H)-quinolone (III) with acid anhydrides, principally Bz2O, were carried out. In the case of I and II, reaction with acid anhydride gave the products in a good yield, but in the case of III, the reaction with acid anhydride gave products in much less yield than that with acid chloride.

T 53995-93-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 53995-93-0 CAPLUS

CN 2(1H)-Quinolinone, 6-benzoyl-1-methyl- (CA INDEX NAME)

L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1974:551956 CAPLUS

DOCUMENT NUMBER: 81:151956

ORIGINAL REFERENCE NO.: 81:23681a,23684a

TITLE: 1-Alkyl-2(1H)-pyridone derivatives. XXII.

Friedel-Crafts reaction of 1-methyl-2(1H)-pyridone and its homologs with benzoyl chloride

AUTHOR(S): Tomisawa, Hiroshi; Fujita, Reiko; Hongo, Hiroshi;

Kato, Hideki

CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1974),

22(9), 2091-6

CODEN: CPBTAL: ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Friedel-Crafts reaction of 1-methyl-2(1H)-pyridone, 1-methyl-2(1H)guinolone, and 2-methyl-1 (2H)-isoguinolone with BzCl gave

5-benzoyl-1-methyl-2(1H)-pyridone, 3-benzoyl-1-methyl-2(1H)-pyridone, and 3,5-dibenzoyl-1-methyl-2(1H)-pyridone; 3-benzoyl-1-methyl-2-(1H)-quinolone and 6-benzoyl-1-methyl-2(1H)-quinolone; and 4-benzoyl-2-methyl-1-(2H)-

isoquinolone and 5-benzoyl-2-methyl-1(2H)-isoquinolone, resp.

ΤТ 53995-93-0P

RL: PREP (Preparation)

(by Friedel-Craft acylation)

RM 53995-93-0 CAPLUS

CN 2(1H)-Quinolinone, 6-benzoyl-1-methyl- (CA INDEX NAME)

L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1963:462385 CAPLUS

DOCUMENT NUMBER:

59:62385 ORIGINAL REFERENCE NO.: 59:11514c-h,11515a

TITLE: Dihydroquinoxal-2-ones

INVENTOR(S): Zellner, Hugo; Pailer, Matthias; Pruckmayr, Gerfried

PATENT ASSIGNEE(S): Donau-Pharmazie G.m.b.H.

SOURCE: 12 pp. DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE AT 228204 19630710 19590703 <--AT PRIORITY APPLN. INFO.: AT

For diagram(s), see printed CA Issue. AΒ New dihydroquinoxal-2-ones (I), in which R1, R2, R3, R7, and R8 are H, halogen, alkyl, OH, alkoxy, acyloxy, alkyloxy, NH2, monoalkylamino,

3-benzyldihydroquinoxal-2-one, m. 31°; 1-(diethylaminoethy])-3-(4-

dialkylamino, acylamino, NO2, or alkylthio groups, R4 is dialkylaminoalkyl, aminoalkyl, N-alkylpiperidyl or N-alkylmorpholyl, and R5 and R6 are H, alkyl with up to 5 C atoms, OH, acyloxy, alkyloxy, NH2, acylamino, monoalkylamino, or dialkyl amino groups, and the salts thereof are prepared by treating the resp. o-phenylene diamines with suitably substituted phenylpyruvic acids or derivs. thereof to obtain the dihydroquinoxalones, which are then aminoalkylated at the 1-N atom with an amino alc. and subsequently aminated. The compds. obtained may be converted into salts. Thus, there have been prepared: 1-(diethylaminoethyl)-

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methoxybenzyl)dihydroquinoxal-2-one; 1-(diethylaminoethyl)-3-(3,4-
dimethoxybenzyl)dihydroguinoxal-2-one, m. 192°;
1-(diethylaminoethyl)-3-(3,4-methylenedioxybenzyl)dihydroquinoxal-2-one,
light yellow oil; 1-(diethylaminoethyl)-3-(3,4-dimethoxybenzyl)-6-
chlorodihydroquinoxal-2-one, b0.5 240-6°; 6-chloro-3-(4-
methoxybenzyl)-1-diethylaminoethyldihydroquinoxal-2-one, b0.01
210°; 3-(4-nitrobenzyl)-1-diethylaminoethyldihydroquinoxal-2-one,
b0.03-0.05 170-5°; 3-(4-dimethylaminobenzyl)-1-
diethylaminoethyldihydroguinoxal-2-one, b0.01 200-10°;
6(7)-methoxy-3-(3,4-dimethoxybenzyl)-1-diethylaminoethyldihydroguinoxal-2-
one, b0.01 220°; 6(7)-methyl-3-(4-methoxybenzyl)-1-
diethylaminoethyldihydroquinoxal-2-one, b0.01 200°;
3-(4-chlorobenzyl)-1-diethylaminoethyldihydroquinoxal-2-one, b0.01
185-90°; 3-(4-methoxybenzyl)dihydroquinoxal-2-one, m. 198°;
3-(3,4-methylenedioxybenzyl)dihydroquinoxal-2-one, m. 220°;
6(7)-methoxy-3-benzyldihydroquinoxal-2-one, 2 isomers, m. 185 and
199°, resp.; 6(7)-methoxy-3-(4-methoxybenzyl)dihydroquinoxal-2-one,
m. 190°; 6(7)-chloro-3-(4-methoxybenzyl)dihydroquinoxal-2-one, m.
227-9°; 6(7)-nitro-3-(4-methoxybenzyl)dihydroquinoxal-2-one, m.
192-7°; 6(7)-methoxy-3-(3,4-dimethoxybenzyl)dihydroquinoxal-2-
one, m. 171°, 6(7)-methoxy-3-(3,4-methylenedioxybenzyl)dihydroquino
xal-2-one, m. 215°: 6,7-dimethoxy-3-benzyldihydroguinoxal-2-one,
m. 275°; 3-(4-ethoxybenzyl)dihydroguinoxal-2-one, m. 196°;
3-(p-chlorobenzyl)dihydroquinoxal-2-one, m. 180° (decomposition); 3-
(p-hydroxybenzyl)dihydroquinoxal-2-one, m. 246°;
3-(4-methoxyphenyl)-α-ethyldihydroquinoxal-2-one, m. 205°;
6(7)-methoxy-3-(3,4-dimethoxybenzyl)-1-diethylaminoethyldihydroquinoxal-2-
one, b0.01 220°; 3-(4-ethoxybenzyl)-1-diethylaminoethyldihydroquino
xal-2-one, m. 62°; 6(7)-methoxy-3-benzyl-1-
diethylaminoethyldihydroquinoxal-2-one, b0.01 204-8°;
3-(4-methoxybenzyl)-1-morpholinoethyldihydroquinoxal-2-one, m.
151°; 6(7)-chloro-3-(4-methoxybenzyl)-1-
morpholinoethyldihydroguinoxal-2-one, b0.005 200°;
6(7)-methoxy-3-(3,4-methylendioxybenzyl)-1-morpholinoethyldihydroquinoxal-
2-one, m. 201°, b0.01 200-10°; 3-benzyl-1-morpholinoethyldi-
hydroquinoxal-2-one, b0.005 203°; 6(7)-chloro-3-(4-methoxybenzyl)-1-
diethylaminoethyldihydroquinoxal-2-one, b0.01 210°, m.
78-9°; 6,7-dimethoxy-3-benzyl-1-diethylaminoethyldihydroquinoxal-2-
one, b0.005 230°; 1-piperidinomethyl-3-benzyldihydroquinoxal-2-one,
m. 211-12°. The compds. are useful as analgesics; they have
papaverine- and morphine-like activity.
92868-65-0
   (Derived from data in the 7th Collective Formula Index (1962-1966))
92868-65-0 CAPLUS
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2(1H)-Quinoxalinone, 5-piperonyl- (7CI) (CA INDEX NAME)

RN

CN

ACCESSION NUMBER: 1963:403525 CAPLUS

59:3525 DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 59:626h,627a-d

TITLE: Synthesis of quinoxalone derivatives

AUTHOR(S): Pailer, M.; Pruckmayr, G.; Zellner, H.; Zellner,

Gertraud

CORPORATE SOURCE: Univ. Vienna Monatshefte fuer Chemie (1962), 93, 1005-18

CODEN: MOCMB7; ISSN: 0026-9247

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable OTHER SOURCE(S): CASREACT 59:3525

For diagram(s), see printed CA Issue. GI

AB The synthesis of a series of substituted 3-benzylquinoxal-2-ones is described. These could be expected to possess a similar pharmacol.

activity to the analogous benzimidazole derivs. of similar structure. were prepared either by condensing the corresponding phenylpyruvic acid with N-diethylaminoethyl- or N-morpholinoethyl-o-phenylenediamine, or by first preparing the quinoxolone then alkylating with diethylaminoethyl chloride [or morpholinoethyl (MA) chloride] and sodamide in absolute dioxane or with K2CO3 in absolute xylene. Similarly prepared were II (R, R1, m.p. given): H, H,

312°; OMe, H, 267.5-8.5°; H, Et2NCH2CH2, 99.5-101°. R, R1, R2, R3, R4, m.p.; H, H, H, H, H, 196°; OMe, H, H, H, H, 198°; OEt, H, H, H, H, 196°; OCH2O, , H, H, H, 220°;

H, H, OMe(H), H(OMe), H, 185°; H, H, H(OMe), OMe(H), H,

200°; H, H, OMe, OMe, H, 275°; OH, H, H, H, H, 243-6°; , , , , (decomposition); OMe, OMe, Cl(H), H(Cl), H,

201-2°; OMe, H, C1(H), H(C1), H, 220-2°; OMe, H, H(C1), Cl(H), H, 227-9°; NO2, H, H, H, H, 268-9°; Cl, H, H, H, H,

231°; OMe, H, NO2(H), H, (NO2), H, 192-7°; OMe, H, Me(H), H(Me), H, 202-3°; OMe, H, CO2Me(H), H(CO2Me), H, 167-8°;

OMe, H, benzo, , 264° ; H, H, H, H, Et2NCH2CH2, -; OMe, H, H, H, Et2NCH2CH2, 69° (HCl salt m. 188°); OMe, OMe, H, H,

Et2NCH2CH2, - (HCl salt m. 192°); OCH2O, , H, H, Et2NCH2CH2, - (HCl salt m. 220°); OEt, H, H, H, Et2NCH2CH2 61°; OMe, OMe,

C1(H), H(C1), Et2NCH2CH2, -; OMe, H, H, (C1), C1(H), Et2NCH2CH2, 78-9°; Cl, H, H, H, Et2NCH2CH2, 73-5°; OMe, H, Me(H), H(Me),

Et2NCH2CH2, 69-70°; H, H, H, H, MA, -; OMe, H, H, H, MA, 151°; Also prepared was III; HCl salt m. 207-10°.

92868-65-0

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 92868-65-0 CAPLUS

CN 2(1H)-Ouinoxalinone, 5-piperonvl- (7CI) (CA INDEX NAME)

L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1940:12844 CAPLUS DOCUMENT NUMBER: 34:12844

ORIGINAL REFERENCE NO.: 34:1986a-i,1987a

TITLE: Nitrogen heterocycles. XLVI. 4,6-Diaminoisophthalaldehyde. 3

Ruggli, Paul; Frey, Hugo AUTHOR(S):

Helvetica Chimica Acta (1939), 22, 1413-27 SOURCE:

CODEN: HCACAV: ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

The 3,6-dicarboxylic ester produced by the addition of 2 mols. AcCH2CO2Et to 4.6-diaminoisophthalaldehyde (I) was saponified to the free acid which was decarboxylated by heating with Cu in guinoline at 160-230° for 20 min. The resulting 2,7-dimethylbenzodipyridine (II) was converted into the hexa-Br derivative which was transformed by heating with oleum to the crude benzodipyridine-2,7-dicarboxylic acid (III). A mixture of 0.25 g. III, 2 cc. of 10% NH4OH and 2 cc. alc. was triturated, diluted with 20 cc. H2O and heated. The NH3-free product was diluted with 10 cc. H2O and boiled with 0.5 g. AgNO3 in 10 cc. H2O. The crude Ag salt (0.45 g.) was boiled with 70 cc. MeOH and 0.4 q. MeI for 1 h., filtered and concentrated to 20 cc., yielding 0.2 g. (70%) of yellow needles of di-Me benzodipyridine-2,7dicarboxylate, C16H12N2O4, m. 272° (with darkening). Decarboxylation of III gave benzodipyridine (IV); perchlorate, m.

268° (explosive on rapid heating); MeI derivative, m. above 200° (decomposition). Reduction of 0.2 g. IV in 5 cc. of boiling AmOH with 0.35 g.

Na

and recrystn. from alc. gave octahydrobenzodipyridine, m. 111.5°, identified through the di-NO and di-Ac derivs., m. 179° (decomposition) and 143°, resp. Reduction of II with Na in AmOH gave as main product a resin which was converted into a colorless crystalline octahydro-2,7dimethylbenzodipyridine diperchlorate, C14H22C12N2O8, m. 285-6° (decomposition). The resinous free base yielded 2 isomeric di-NO derivs., m. 164.5 and 151.5-2.0°, resp. Condensation of 0.2 g. II with 0.5 g. of p-Me2NC6H4CHO at 170-5° in the presence of 10 drops of

piperidine produced 0.45 g. of orange-red 2,7-bis(pdimethylaminostyryl)benzodipyridine, C32H30N4, m. about 340° (with darkening), dissolving in HCl to give violet, blue, green and yellow solns. with increasing acid concns. Condensation of II with o-C6H4(CO2Et)2 by heating in the presence of Na for 14 h. at 100° gave a scarlet crystalline powder which on sulfonation dyed wool and silk

bluish red in an acid bath. A unilateral condensation of 0.6 g. I with 6 cc. AcCH2CO2Et occurred on heating in the presence of 9 drops of piperidine for 30 min. at 170°. The impure 3-acetyl-6-formyl-7aminocarbostyril yielded yellow crystals of a pure Ac derivative, C14H12N2O4, m. 320-40° (decomposition). Treatment of 1 g. I in 100 cc. alc. at

30° with 14 g. of dry OHCCHNaCO2Et, boiling for 1 h. after standing for 3 days, filtering off the brown amorphous precipitate (V), adding 1 cc. H2O and standing for 8 days gave a Na salt which was dissolved in 50 cc. H2O, acidified with 10% HCl and recrystd, from dioxane, vielding di-Et

2,6-diaminoisophthalaldiformylacetate, C18H20N2O6, m. 250° (decomposition). V was dissolved in H2O, filtered and precipitated with dilute HCl.

The amorphous product (0.06 q.) was decarboxylated by heating in vacuo with 0.3 g. BaO and 0.5 g. Cu at 150° to yield a bright yellow sublimate of IV. Condensation of I with excess cyclohexanone in the presence of piperidine produced 2,3,6,7-bis (tetramethylene)benzodipyridine, C20H2ON2, m. 250-1° (with darkening); dipicrate, m. 195° (decomposition). A mixture of 8 g. I in 150 cc. alc., 24 cc. PhCH2CN and 12.5 cc. of 30% NaOH was heated for 30 min. on the steam bath. Working up and purification through the di-HCl salt gave a free base (VI), C24H18N4, m. 301°; tetra-Ac derivative, C32H26N4O4, m. 238.5-9.5° (decomposition). Saponification of VI with HCl produced a carboxyl derivative, C24H18N2O3, which gave a Na salt and a mono-Ac derivative, m. 365°. Condensation of 4 g. of 4,6-dinitroisophthalaldehyde with

 $8.4~\rm g$. of dry PhCH(Na)CO2H by heating with 34 cc. Ac2O and 1.2 g. ZnCl2 for 40 h. at 80° gave a powdery dicarboxylic acid which was esterified through the Ag salt to di-Me 4,6-dinitroisophthalalbis(phenylac etate), C26H2ON2O8, m. 152.5-3.5°. Condensation of methazonic acid (VII) with o-H2NC6H4CHO yields 3-nitroquinoline and similarly a cold mixture of VII and I in the presence of a min. of HCl gave 20% of yellow-orange needles of a compound Cl6H14N6O5, m. 290° (decomposition), of undetd. composition

- IT 855762-40-2P, 6-Quinolineacrylic acid, 7-amino-1,2-dihydro-2-oxo- α ,3-diphenyl- 855762-42-4P, 6-Quinolineacrylic acid, 7-acetamido-1,2-dihydro-2-oxo- α ,3-diphenyl-R: PREP (Preparation)
 - (preparation of)
- RN 855762-40-2 CAPLUS CN Benzeneacetic acid.
 - Benzeneacetic acid, α-[(7-amino-1,2-dihydro-2-oxo-3-phenyl-6-quinolinyl)methylene]- (CA INDEX NAME)

$$\begin{array}{c|c} & H_2N & H & O \\ & Ph & N & O \\ & HO_2C-C-CH & Ph \end{array}$$

- RN 855762-42-4 CAPLUS
- CN Benzeneacetic acid, a-[(7-(acetylamino)-1,2-dihydro-2-oxo-3-phenyl-6-quinolinyl]methylenel- (CA INDEX NAME)

$$\begin{array}{c|c} & & & H & \\ & & & \\ Ph & & & \\ HO_2C-C-CH & & Ph \end{array}$$

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